

11

ring nodes :

1 2 3 4 5 6 7 8 9 10 12 13 14 15 16

chain bonds :

9-11

ring bonds ':

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 5-7 \quad 6-10 \quad 7-8 \quad 8-9 \quad 9-10 \quad 12-13 \quad 12-16 \quad 13-14 \quad 14-15$

15-16

exact/norm bonds :

5-7 6-10 7-8 8-9 9-10 9-11 12-13 12-16 13-14 14-15 15-16

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

N O Great day, who was well as we will be a sea to the sea of the

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:10:34 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 313 TO ITERATE

100.0% PROCESSED

313 ITERATIONS

14 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

5199 TO 7321

PROJECTED ANSWERS:

56 TO 504

<03/01/2005>

10/702,302 Page 4

L2 14 SEA SSS SAM L1

=> s 11 sss full FULL SEARCH INITIATED 16:10:42 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 6120 TO ITERATE

100.0% PROCESSED 6120 ITERATIONS

247 ANSWERS

SEARCH TIME: 00.00.01

L3 247 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 161.33 161.54

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 16:10:47 ON 01 MAR 2005
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FILE COVERS 1907 - 1 Mar 2005 VOL 142 ISS 10 FILE LAST UPDATED: 28 Feb 2005 (20050228/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 35 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:392319 CAPLUS
DOCUMENT NUMBER: 110:406825
ITITLE: Freparation of substituted benzoxazinones as selective 5-HT6 antagonists for treating central nervous system diseases and gastrointestinal tract disorders
Haag, Hans; Sul, Meng; Zhao, Shu-hai
ROCHE Palo Alto Lic, USA
U.S. Pat. Appl. Publ., 40 pp.
CODEN: USDXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO	0.		KIND	DAT	E		APPL	ICAT	ION	NO.		D.	ATE		
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US 20040				200											
WQ 20040	41792		A1	200	40521	,	MO ,5	003-:	EP12	278		2	0031	104	
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	CN, CO,	CR,	CU, (CZ, DE	, DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	GE, GH,	GM,	HR, I	HU, ID	, IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
1	LK, LR,	LS,	LT,	LU, LV	, MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	
1	NZ, OM,	PG,	PH, 1	PL, PT	, RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	
	TM, TN,	TR,	TT,	TZ, UA	, UG,	UZ,	٧c,	VN,	ΥU,	ZA,	ZM,	ZW			
RW: 1	BW, GH,	GM,	KE,	LS, MW	, MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
1	BY, KG,	KZ.	MD, 1	RU, TJ	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
	ES, FI,	FR,	GB, G	GR, HU	, IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
	TR, BF,	ВJ,	CF, (CG, CI	, CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
PRIORITY APPLY	N. INFO	. :					US 2	002-	4249	46P	1	P 2	0021	108	
OTHER SOURCE (S):		MARP	AT 140	: 4068	25							•		

The invention provides compds. of the formula (I) or pharmaceutically acceptable salts or prodrugs thereof [Y = C, S, m = 1 when Y = C and m = 2 when Y = Sı n = 1, 2; p = 0-3; q = 1-3; Z = (CRaRb)r or SO2 (where Ra, Rb = H, alkyl) r = 0-2: X = CH, N, Rl = halo, alkyl, haloalkyl, heteroalkyl,

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Preparation): RACT (Reactant or reagent): USES (Uses)
(prepn. of substituted benzowazinones as selective 5-HT6 antagonists
for treating central nervous system diseases and gastrointestinal tract

688363-68-0 CAPLUS

088303-08-0 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-methyl-4-(phenylmethyl)-8-(1-piperazinyl)-(9CI) (CA INDEX NAME)

688363-69-1 CAPLUS 2H-1,4-Benzowazin-3(4H)-one, 4-(phenylmethyl)-8-(1-piperazinyl)- (9CI) (CA INDEX MAME)

<.03/01/2005>

688363-00-0P, 4-Benzyl-6-methyl-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-01-1P,
4-Benzyl-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-02-2P, 4-(2-Fluorobenzyl)-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-02-2P, 4-(2-Fluorobenzyl)-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-04-4P,
4-(3-Chlorobenzyl)-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-06-6P,
4-Banzyl-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-06-6P,
4-Banzyl-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-07-7P, 4-(2-Fluorobenzyl)-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-08-8P,
4-(4-Fluorobenzyl)-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-09-9P, 4-(4-Fluorobenzyl)-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one hydrochloride 688363-10-2P,
4-(4-Fluorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one

Page 5

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) alkowy, cyano, S(0)sRc, CONRCRd, SO2NRCRd, N(Rc)CORd, or CORc (where Rc, Rd = H, alkyl), s = 0-2; R2 = aryl, heteroaryl; R3, R4 = H, alkyl, hydroxyalkyl, or alkoxyalkyl, or R3 and R4 together with their shared carbon may form a ring of 3 to 6 members that optionally includes a N or O heteroatom; R5-R9 = H or alkyl, or no of R5 and R6 together with one of R7, R8 and R9 and the atoms there between may form a ring of 5 to 7 members]. These compds. exhibit selective affinity for 5-HT6 receptor and are used as selective 5-HT6 antagonists for treating (a) a central nervous system disease state which is selected from psychoses, schizophrenia, manic depressions, neurol. disorders, memory disorders, attention deficit disorder, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease and (b) a disorder of the gestrointestinal tract. Thus, amination of 4-benzyl-8-hromo-2,2-dimethyl-4H-benzo(1,4) (axazin-3-one vith 1-tert-butoxycarbonyl-maintopiperazine in the presence of Pd2(dba)3, BINAP, and sodium tert-butoxide in toluene at 55-100' followed by treatment with HCl/Exch gave 4-benzyl-2,2-dimethyl-8-(piperazin-1-y1) 4H-benzo(1,4) (axazin-3-one (II) hydrochloride. Free mine II and 4-(2-fluorobrzyyl)-8-(piperazin-1-y1)-4H-benzo(1,4) axazin-3-one inhibited the binding of (3H)LSD to human 5-HT6 receptor with pki of 9:13 and 9:04, resp. 68833-65-79, 4 (4-Benzyl-3-Oxo-3,4-dihydro-2H-benzo(1,4) oxazin-8-y1)piperazine-1-carboxylic acid tert-butyl ester
Ri: RCT (Reactant): SPR (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(intermediate; preparation of substituted benzoxazinones as selective antagonists for treating central nervous system diseases and

antagonists for treating central nervous system diseases and gastrointestinal tract disorders)
688363-65-7 CAPUS
1-Piperazinecarboxylic acid, 4-[3,4-dihydro-3-oxo-4-(phenylmethyl)-ZH-1,4-benzoxazin-8-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

688363-68-0F, 4-Benzyl-6-methyl-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one 688363-69-1F, 4-Benzyl-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one FR: FXC (Pharmacological activity), RCT (Reactant); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study), PREP

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) hydrochloride 683363-11-3P, 4-(2-Fluorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 683363-12-4P, 4-(2-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 683363-12-5P, 4-(3-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 683363-13-5P, 4-(3-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 683363-13-5P, 4-(3-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 683363-13-6P, 6-[piperazin-1-yl)-3-(4-benzo[1,4] oxazin-4-one hydrochloride 683363-13-6P, 3-(3-dh) dydrochloride 683363-13-6P, 4-(3-Fluorobenzyl)-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 683363-13-6P, 4-Benzyl-2-(2-dimathyl-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 683363-13-6P, 4-Benzyl-2-(2-dimathyl-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 683363-22-6P, 4-Benzyl-2-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 683363-22-0-6P, 4-Benzyl-2-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 683363-22-0-6P, 4-Benzyl-2-Benzyl-2-Benzyl-2-PP, 4-(4-Fluorobenzyl-8-(piperazin-1-yl)-4H-benzo[1,4] oxazin-3-one hydrochloride 683363-22-0(8)-4-Benzyl-2-Benzyl-2-Benzyl-2-PP, 4-(4-Fluorobenzyl-2-PP, 4-(4-Pluorobenzyl-3-PP, 4-(3-Phuorobenzyl-3-PP, 4-(4-Phuorobenzyl-3-PP, 4-(4-Phuorobenzyl-3-PP, 4-(4-Phuorobenzyl-3-PP, 4-(4-Phuorobenzyl-3-PP, 4-(4-Phuorobenzyl-3-PP,

10/702,302

14 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
4-Benzyl-6-methyl-8-(4-methylpiperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689363-70-64, 4-Benzyl-8-(4-methylpiperazin-1-yl)-4Hbenzo[1,4]oxazin-3-one 689363-71-59, 4-Benzyl-2,2-dimethyl-8(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one 689363-80-9P,
4-(2-Fluorobenzyl)-6-(Fluorazin-1-yn)-4H-benzo[1,4]oxazin-3-one
689363-84-0P, 4-(2-Fluorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4Hbenzo[1,4]oxazin-3-one 689363-85-1P, (3)-4-Benzyl-2-methyl-8(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one 689363-86-2P,
4-(3-Chlorobenzyl)-2,2-dimethyl-9-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689363-87-3P, 4-Benzyl-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689363-90-8P, 4-(3-Chlorobenzyl)-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689363-90-8P, 4-(3-Chlorobenzyl)-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689363-90-8P, 4-(3-Chlorobenzyl)-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689363-93-PP, 4-(4-Chlorobenzyl)-6-methoxy-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689363-93-PP, 4-(4-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689363-93-PP, 4-(4-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689363-93-PP, 4-(4-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689363-96-64, 4-(4-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689363-98-67, 4-(4-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689363-98-67, 4-(4-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689363-98-67, 4-(3-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689364-09-0P, 4-(3-Chlorobenzyl)-6-fluoro-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689364-00-0P, 4-(3-Pluorobenzyl)-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689364-00-0P, 4-(3-Pluorobenzyl)-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689364-00-0P, 4-(3-Pluorobenzyl)-2-2-dimethyl-8-(piperazin-1-yl)-4H-benzo[1,4]oxazin-3-one
689364-00-0P, 4-(3-Pluorobenz

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

688363-02-2 CAPLUS

2H-1,4-Benzoxazin-3(4H)-one, 4-[(2-fluoropheny1)methyl]-6-methoxy-8-(1-piperaziny1)-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

688363-03-3 CAPLUS · 2H-1,4-Benzoxazin-3(4H)-one, 4-[(2-chlorophenyl)methyl]-6-methoxy-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

688363-04-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-chlorophenyl)methyl]-6-methoxy-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Page 6

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (piperazin-1-y1)-4H-benzo[1,4]oxazin-3-one 688364-20-79, 4-(3-Chlorobenzy1)-6-fluoro-2,2-dimethy1-8-(piperazin-1-y1)-4H-benzo[1,4]oxazin-3-one 688364-21-8P, 4-Benzy1-8-(3,3-dimethyliperazin-1-y1)-4H-benzo[1,4]oxazin-3-one 688364-22-9P RL: PAC (Pharmacological activity); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USBs)

(Uses)
(prepn. of substituted benzoxazinones as selective 5-HT6 antagonists for treating central nervous system diseases and gastrointestinal tract disorders)
688363-00-0 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-methyl-4-(phenylmethyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

688363-01-1 CAPLUS 2H-1, 4-Benzokazin-3(4H)-one, 6-methoxy-4-(phenylmethyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

• HC1

688363-05-5 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-(phenylmethyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

688363-06-6 CAPLUS ZH-1, 4-Benzoxazin-3(4H) -one, 6-fluoro-4-(phenylmethyl) -8-(1-piperazinyl) -, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 688363-07-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-{(2-fluorophenyl)methyl]-8-(1-piperazinyl), monohydrochloride (9Cl) (CA INDEX NAME)

• HC1

RN 688363-08-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-fluorophenyl)methyl]-8-(1-piperazinyl), monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-11-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(2-fluorophenyl)methyl]-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 688363-12-4 CAPLUS -CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(2-chlorophenyl)methyl]-6-fluoro-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Page 7

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-09-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-chlorophenyl)methyl]-8-(1-piperazinyl), monohydrochloride (9CI) (CA INDEX NAME)

RN 688363-10-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(4-fluorophenyl)methyl]-8-(1-piperazinyl)-, monchydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

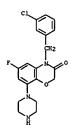
C1 CH2
CH2
N

RN 688363-13-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-chlorophenyl)methyl]-6-fluoro-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 688363-14-6 CAPLUS:
CN 2H-1,4-Benzoxszin-3(4H)-one, 6-fluoro-4-(2-naphthalenylmethyl)-8-(1-piperazinyl)-, monohydrochloride (SCI) (CA INDEX NAME)

• HCl

RN 688363-15-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-chlorophenyl)methyl]-6-fluoro-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HC1

RN 688363-16-8 CAPLUS
CN Benzonitrile, 3-[[2,3-dihydro-3-oxo-8-(1-piperaziny1)-4H-1,4-benzoxazin-4-yl]nethyl]-, monbydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HC1

RN 68:353-19-1 CAPLUS CN 2H-1,4-Benzoxazin-3 (4H)-one, 2-methyl-4-(phenylmethyl)-8-(1-piperazinyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX RAME)

Absolute stereochemistry.

• HC1

RN 689363-20-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(phenylmethyl)-6-(1-piperazinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

Page 8

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HC

RN 688363-17-9 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-fluorophenyl)methyl]-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

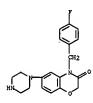
HC1

RN 688363-18-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2,2-dimethyl-4-(phenylmethyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

• HCl

RN 688363-21-5 CAPLUS
CN 2H-1,4-Benzokazin-3(4H)-one, 4-[(4-fluorophenyl)methyl]-6-(1-piperazinyl), monohydrochloride (9CI) (CA INDEX NAME)



• HC1

RN 688363-22-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-methyl-4-(phenylmethyl)-8-(1-piperazinyl)-,
monohydrochloride, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HCl

RN 688363-23-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-(1-piperazinyl)-4-(4-pyridinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 688363-24-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-methyl-8-(4-methyl-1-piperazinyl)-4(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HCl

RN 688363-27-1 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 4-[(3-methoxypheny1)methy1]-8-(1-piperaziny1), monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 688363-28-2 CAPLUS
CN 2R-1,4-Benzoxazin-3(4H)-one,'4-{(3-nitrophenyl)methyl}-8-(1-piperazinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

Page 9

14 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HC1

RN 688363-25-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-(4-methyl-1-piperazinyl)-4-(phenylmethyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 688363-26-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(1-phenylsthyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HC1

RN 688363-29-3 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 4-[(3-aminophenyl)methyl]-8-(1-piperazinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 688363-30-6 CAPLUS
CN Benzonitrile, 4-[[2,3-dihydro-3-oxo-8-(1-piperazinyl)-4H-1,4-benzoxazin-4-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 688363-31-7 CAPLUS
CN Methanesulfonamide, N-[3-[[2,3-dibydro-3-oxo-8-(1-piperazinyl)-4H-1,4-benzoxazin-4-yl]methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 688363-32-8 CAPLUS
CN 2H-1,4-Bencowazin-3(4H)-one, 4-[(4-fluorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)-,monohydrochloride (SCI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-35-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-chlorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 688363-36-2 CAPLUS
CN 2K-1.4-Benzoxazin-3(4H)-one, 8-(3,5-dimethyl-1-piperazinyl)-4(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Page 10

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-33-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-fluorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 688363-34-0 CAPLUS CN Urea, [3-1[2,3-dihydro-3-oxo-8-(1-piperaziny1)-4H-1,4-benzoxazin-4yllmethyl]phenyl]-, monobydrochloride (SCI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HCl

RN 688363-37-3 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 4-[(4-chlorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)-, monohydrochloride (SCI) (CA INDEX NAME)

RN 688363-38-4 CAPLUS
CN 2K-1,4-Benzoxazin-3(4H)-one, 6-fluoro-2,2-dimethyl-4-(phenylmethyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 688363-39-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-{(4-chlorophenyl)methyl]-6-fluoro-2,2-dimethyl-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 688363-40-8 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(3-fluoropheny1)methy1)-2,2dimethy1-8-(1-piperaziny1)-, monohydrochloride (9C1) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-43-1 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 4-[(3-chlorophenyl)methyl]-6-fluoro-2,2dimethyl-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 688363-44-2 CAPLUS

2M-1,4-Benzowszin-3(4H)-one, 8-(3,3-dimethyl-1-piperazinyl)-4-(phenylmethyl)-, monchydrochloride (9CI) (CA INDEX NAME)

Page 11

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HC1

RN 688363-41-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(2-fluorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 688363-42-0 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 6-fluoro-4-[(4-fluoropheny1)methy1]-2,2dimethyl-8-(1-plperazinyl)-, monohydrochloride (9C1) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HC

RN 688363-46-4 CAPLUS
Spiro[2H-1,4-benzoxazine-2,1'-cyclobutan]-3(4H)-one, 4-(phenylmethyl)-8-(1-piperazinyl)-, manohydrochloride (9CI) (CA INDEX NAME)

● HC

RN 688363-67-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-methyl-8-(4-methyl-1-piperazinyl)-4(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 688363-70-4 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 8-{4-methyl-1-piperazinyl}-4-{phenylmethyl}(9C1) (CA INDEX NAME)

RN 688363-71-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2,2-dimethyl-4-(phenylmethyl)-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-86-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-{(3-chlorophenyl)methyl}-2,2-dimethyl-8-(1-piperazinyl)- (9C1) (CA INDEX NAME)

RN 688363-87-3 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 6-methoxy-4-(phenylmethyl)-8-(1-piperazinyl)(9C1) (CA INDEX NAME)

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L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 688363-83-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(2-fluorophenyl)methyl]-8-(1-piperazinyl)(SCI) (CA INDEX NAME)

RN 688363-84-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(2-fluorophenyl)methyl]-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 688363-95-1 CAPLUS
CN 2H-1,4-Senzowazin-3(4H)-one, 2-methyl-4-(phenylmethyl)-8-(1-piperazinyl)-,
(25) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-88-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(2-fluorophenyl)methyl]-6-methoxy-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 688363-89-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(2-chlorophenyl)methyl]-6-methoxy-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 688363-90-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3=chloropheñyl)methyl]-6-methoxy-8-(1-piperazinyl)- (3CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-91-9 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 6-fluoro-4-(phenylmethyl)-8-(1-piperazinyl)(SCI) (CA INDEX NAME)

RN 688363-92-0 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-fluorophenyl)methyl]-8-(1-piperazinyl)-(9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-95-3 CAPLUS
CM 2H-1,4-Benzoxazin-3(4H)-one, 4-[(2-chlorophenyl)methyl]-6-fluoro-8-(1-piperazinyl)- (9C1) (CA INDEX NAME)

NN 688363-96-4 CAPLUS
NN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-chlorophenyl)methyl]-6-fluoro-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-93-1 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-chlorophenyl)methyl]-8-(1-piperazinyl)-(9CI) (CA INDEX NAME)

RN 688363-94-2 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(4-fluorophenyl)methyl]-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688363-97-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-(2-naphthalenylmethyl)-8-(1-piperazinyl)- (3CI) (CA INDEX NAME)

RN 688363-98-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-{(3-chlorophenyl)methyl}-6-fluoro-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 688363-99-7 CAPLUS
CN Benzonitrile, 3-[[2,3-dihydro-3-oxo-8-(1-piperazinyl)-4H-1,4-benzoxazin-4-yl]methyl]- (9CI) (CA INDEX NAME)

RN 688364-00-3 CAPLUS CN 2H-1, 4-Benzowazin-3 (4H) -one, 4-[(3-fluorophenyl)methyl]-8-(1-piperazinyl)-(9C1) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-fluorophenyl)methyl]-6-(1-piperazinyl)(9CI) (CA INDEX NAME)

RN ·688364-04-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-(1-piperazinyl)-4-(4-pyridinylmethyl)(9CI) (CA INDEX NAME)

RN 688364-05-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(1-phenylethyl)-8-(1-piperazinyl)- (9CI)
(CA INDEX NAME) ,

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L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688364-01-4 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 2-methyl-4-(phenylmethyl)-8-(1-piperazinyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 688364-02-5 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(phenylmethyl)-6-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 688364-03-6 CAPLUS

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 688364-06-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-methoxyphenyl)methyl]-8-(1-piperazinyl)(9C1) (CA INDEX NAME)

RN 688364-07-0 CAPLUS . CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-nitrophenyl)methyl]-8-(1-piperazinyl)-(9C1) (CA INDEX NAME)

RN 688364-08-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-aminophenyl)methyl]-8-(1-piperazinyl)(9CI) (CA INDEX NAME)

H₂N CH₂ Ch₂ Ch₂ Ch₂ Ch₃ Ch₄ Ch₄

RN 689364-09-2 CAPLUS
CN Methanesulfonamide, N-[3-[[2,3-dihydro-3-oxo-8-(1-piperazinyl)-4H-1,4-benzoxazin-4-yl]methyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2
CH2
He

RN 688364-12-7 CAPLUS
CN Urea, [3-[[2,3-dihydro-3-oxo-8-(1-piperazinyl)-4H-1,4-benzoxazin-4-yl]methyl]phenyl]- (9Cl) (CA INDEX NAME)

H₂N-C-NH CH₂ N

RN 688364-13-8 CAPLUS
CN 2H-1,4-Denzoxazin-3(4H)-one, 8-(3,5-dimethyl-1-piperazinyl)-4(phenylmethyl)- (9C1) (CA INDEX NAME)

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L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

He-3-NH CH2

RN 688364-10-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-fluorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

CH2 Ne Ne

RN 688364-11-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-fluorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)-(9C1) (C1 NNEEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (C

(Continued)

CH2-Pt

RN 688364-14-9 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[(4-chlorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

GH2 H

RN 688364-15-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-2,2-dimethyl-4-(phenylmethyl)-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

Habte

<03/01/2005>

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 688364-16-1 CAPLUS 2H-1,4-Benzoxazin-3(dH)-one, 4-{(4-chlorophenyl)methyl}-6-fluoro-2,2-dimethyl-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

688364-17-2 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(3-fluorophenyl)methyl]-2,2-dimethyl-6-(1-piperazinyl)- (9CI) (CA INDEX NAME)

688364-18-3 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(2-fluorophenyl)methyl]-2,2-dimethyl-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

698364-21-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 8-(3,3-dimethyl-1-piperazinyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

688364-22-9 CAPLUS
Spiro[2H-1,4-benzoxazine-2,1'-cyclobutan]-3(4H)-one, 4-(phenylmethyl)-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

688364-19-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-fluoro-4-[(4-fluorophenyl)methyl]-2,2-dimethyl-6-(1-piperazinyl)- (9CI) (CA INDEX NAME)

688364-20-7 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[(3-chlorophenyl)methyl]-6-fluoro-2,2-dimethyl-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

L4 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:142766 CAPLUS
DOCUMENT NUMBER: 138:153531
TITLE: Preparation of imidazolinetrione derivatives as herbicides
INVENTOR(S): Li, Binr Xu, Jidongr Mang, Yingr Zhang, Zongjian PATENT ASSIGNEE(S): Shenyang Chemical Institute, Peop. Rep. China Faning Zhuanli Shenqing Gongkai Shuomingshu, 15 pp.
DOCUMENT TYPE: Patent CHONEY
PATENT ANGUAGE: Chinese
PATILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1325849	A	20011212	CN 2000-110477	20000530
CN 1118466	В	20030820		
PRIORITY APPLN. INFO.:			CN 2000-110477	20000530
OTHER SOURCE(S):	MARPAT	130:153531		
GI				

Title compds. I (R - H, alkyl) W = 0, S; X1, X4 - H, halo; X2 - halo, cyano, nitro, etc.; X3 - alkyl, alkoxy, alkenyloxy, alkynyloxy, etc.), useful as herbicides, are prepared I (R - MeOCH2, W - 0, X1 - F, X2 - Cl, X3 - cyclopentyloxy, X4 - H) was prepared in several steps from 2-fluoro-4-chloro-5-cyclopentyloxyaniline and showed herbicidal activity against Polygonum lapathifolium at 1200 g/ha. 374718-07-78 374718-08-89 374718-09-99
374718-10-29 374718-11-39 374718-13-59
374718-10-69 374718-16-89 49468-07-79
494689-11-39
REL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazolinetrione derivs. as herbicides)
374718-07-7 CAPLUS
Imidazolidinatrione, [7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

374718-13-5 CAPLUS
4,5-1midazolidinedione, 1-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-3-propyl-2-thioxo- (9CI) (CA INDEX NAME)

374718-14-6 CAPLUS
4,5-Imidazolidinedione, 1-(4-butyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-3-propyl-2-thioxo-(9CI) (CA INDEX NAME)

374718-16-8 CAPLUS 4,5-Imidazolidinedione, 1-ethyl-3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl}-2-thioxo- (9CI) (CA INDEX NAME)

494869-07-7 CAPLUS
4,5-Imidazolidinedione, 1-(7-fluoro-3,4-dihydro-3-oxo-4-propyl-2H-1,4-benzoxazin-6-yl)-3-propyl-2-thioxo- (9CI) (CA INDEX NAME)

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L4 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

374718-08-8 CAPLUS
Imidazolidinetrione, [7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]propyl- (9Cl) (CA INDEX NAME)

374718-09-9 CAPLUS Imidazolidinetrione, [7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl](2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

374718-10-2 CAPLUS 4,5-Imidazolidinedione, 1-{7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl}-2H-1,4-benzoxazin-6-yl}-3-propyl-2-thioxo- (9CI) (CA INDEX NAME)

374718-11-3 CAPLUS 4.5-Imidazolidi.nedione, 1-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propeny1)-2H-1.4-benzoxazin-6-yl]-3-propyl-2-thioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$\bigcap_{n-P} \bigcap_{r} \bigcap_{n-P} \bigcap_{r} \bigcap_{r}$$

494869-11-3 CAPLUS 4,5-Imidazolidinedione, 1-{7-fluoro-3,4-dihydro-4-(methoxymethyl)-3-oxo-2H-1,4-benzoxazin-6-yl}-3-propyl-2-thioxo- (9CI) (CA INDEX NAME)

Page 18

L4 ANSVER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2003:22870 CAPLUS DOCUMENT NUMBER: 138:89820 TITLE: LUS COPINION 2005 ACC 2003:22970 CAPLUS
138:9920
Preparation of heteroaryl derivatives as 5-HTIA
antagonists, potent serotonin reuptake inhibitors, and
which show affinity for the dopamine D4 receptor
Rottlaender, Mario; Moltzen, Ejner Knud; Mikkelsen,
Ivan; Ruhland, Thomas; Andersen, Kim; Krog-Jensen,
Christian
H. Lundbeck A/S, Den.
PCT Int. Appl., 40 pp.
CODEN: PIXXD2
Patent
English
1 INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT P															
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	WO 20030	002556		A1		2003	0109	,	WO 2	002-	DK43	5		2	0020	627
	W:	AE, AG,	AL,	AM,	AT,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH
		CN, CO,	CR,	CU,	CZ,	CZ,	DE,	DE,	DK,	DK,	DM,	DZ,	EC,	EE,	EE,	ES
		FI, FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	Is,	JP,	ΚĒ,	KG
		KP, KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW
		MX, MZ,	NO.	NZ,	OM,	PH,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SK
		SL, TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW
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(Continued) ANSWER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

484031-14-3 CAPLUS
3-Pyridinecarbonitrile, 2-[[2-[4-(6-chloro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-yl)-1-piperazinyl]ethyl]thio]-4,6-dimethyl- (9CI) (CA INDEX NAME)

484031-16-5 CAPLUS
3-Pyridinecarbonitrile, 6-chloro-2-[[2-[4-(6-chloro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-yl}-1-piperazinyl]ethyl]thio]-4-methyl- (9CI) (CA INDEX NAMP)

ANSWER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Heteroaryl derivs. [I, wherein A = O, S, n = 2, 3, 4, 5, 6, 7, 8, 9, 10, m = 2, 3, W, Q, independently = N, C, CHX x = O, amino, S, CRRS; Y = CRS7, CR617-CR6R9, CR6:CR7, COCRGR7, or X and Y together form a group CR4:CR5, CR4:CR5-CR6R7, 2 = O, S, R1, R2, R3, R4, R5, R6, R7, R8, R9, independently = H, (C1-C6) alkyl, (C2-C6) alkeyl, (C2-C6) alkynl, (C3-C8) cycloalkyl-(C1-C6) alkyl, aryl (C1-C6) alkyl, cycloalkyl-(C1-C6) alkyl, C1-C6) alkyl, cycloalkyl-(C1-C6) alkyl, C1-C6) alkyl, cycloalkyl-(C1-C6) alkyl, C1-C6) alkyl, cycloalkyl-(C1-C6) alkyl, C1-C6) alkyl, C1-C6) alkyl, C1-C6) alkyl, C1-C6) alkyl, C1-C6, alkyl, C1-C6, alkyl, C1-C6, alkyl-(C1-C6) alkyl) properating of two or three methylene groups, R12, R13, R14, R15 = H, halo, cyano, nitro, hydroxy, (C1-C6) alkyl, (C1-C6) alkyl-(C1-C6) alky

(Uses)
(preparation of benzodioxinyl piperazinyl heteroaryl derivs. as 5-HTIA antagonists, potent serotonin reuptake inhibitors, and which show affinity for dopamine D4 receptor)
484031-12-1 CAPIUS
3-Pyridinearabonitrile, 2-[[2-[4-(6-chloro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-yl)-1-piperazinyl]ethyl]thio]- (9CI) (CA INDEX NAME)

ANSWER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

484031-17-6 CAPLUS
3-Pyridinecarbonitrile, 4-chloro-2-[[2-[4-(6-chloro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-yl)-1-piperazinyl]ethyl]thio]-6-methyl- (9CI) (CA INDEX NAME)

484031-19-8 CAPLUS
3-Pyridinecarbonitrile, 5-chloro-2-[[2-[4-(6-chloro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-y1)-1-piperazinyl]ethyl]thio]-4,6-dimethyl- (9CI) (CAINDEK NAME)

484031-20-1 CAPLUS 3-Pyridinecarbonitrile, 5-chloro-2-[[2-[4-(6-chloro-3,4-dihydro-3-oxo-1,4-benzoxazin-8-yl]-1-piperazinyl]ethyl]thio]- (9CI) (CA INDEX NAME)

484031-22-3 CAPLUS

ANSWER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 19

ANSWER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 3-Pyridinecarbonitrile, 6-chloro-2[[2-[4-(6-chloro-3,4-chloro-3)-oxo-2H-1,4-benzoxazin-8-yl)-1-piperazinyl]ethyl]thio] (9CI) (CA INDEX NAME)

484031-24-5 CAPLUS
3-Pyridinecarbonitrile, 6-chloro-2-[[2-[4-(6-chloro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-yl)-1-piperazinyl]ethyl]thio]-5-fluoro-(9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 2002:793608 CAPLUS DOCUMENT NUMBER: 137:310917

137:310917
Aromatic-substituted thiohydantoins, their preparation, and their use for treating diabetes, dyslipidemia, and obesity Boubia, Bensiessa; Chaput, Evelyne; Ou, Khan; Ratel, Philippe Laboratoires Fournier SA, Fr. PCT Int. Appl., 111 pp. CODEN: PIXXD2 Patent
French

INVENTOR (S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. A1 C1 DATE 20021017 APPLICATION NO. DATE WO 2002081453 WO 2002081453 W: AE, AG W0 2002081453 A1 20021017 W0 2002-FR1:

W1 28, AG, AL, AH, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CR, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, DD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JF, XE, KG, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, HA, HD, HG, HK, HN, HW, KM, KM, KM, KM, KM, CT, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, FR 223209 A1 20021017 FR 2001-4552 20010404 FR 2823209 B1 20031212 CA 2444024 AA 20021017 CA 2002-2444024 20020404 EP 1373219 A1 20040102 EP 2002-730333 20020404 EP 200300485 A 20040216 EE 2003-465 EP 2003-73033 20020404 EP 200300485 A 20040216 EP 2003-73048 AD 20020404 EP 2002-73033 AD 20020404 EP 2002-0300485 A 20040216 EP 2003-730480 AP 200300480 AP 2003-4430 AP 20031003 AP 20031003 AP 20031003 AP 20031003 AP 20031003 AP 20031005 EP 2001-4552 A 20010404 W 20020404 CHER SOURCE(S): MARPAT 137:310917 WO 2002-FR1167 20020404 AT 20021017 W0 2002-FRITE 2002004 CT 20021014 AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,

OTHER SOURCE(S):

The invention concerns compds. derived from 2-thiohydantoin, selected among compds. I [R1 = (un) substituted aromatic nucleus [substituents = halo, alkoxy, alkyl, alkylthio, NO2, CF3, OCF3, OCH2O, or (un) substituted

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ANSWER 4 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (homo) (thio) morpholine, (homo) piperidine, (homo) piperazine, etc.], R2 = H, alkyl or cycloalkyl (potionally interrupted by O atoms(s)], haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, cyanoalkyl, (un) substituted arom. nucleus, R3 = H, alkyl, R4 = H, alkyl, OH; or R3R4 = CH2; provided that at least one of R1 and R2 is an arom. nucleus bearing at least one (un) substituted (homo) (thio) morpholine, (homo) piperidine, (homo) piperazine, etc.] and their addn. salts with acids, in particular their pharmaceutically acceptable salts. The invention also concerns methods for prepg. I, pharmaceutical compns. contg. them, and their use as pharmacol. active substances, in particular for treating diabetes, diseases mediated by hyperglycenia, hypertriglyceridemia, dyslipidemia, or obesity. A total of 380 invention compds, and approx. 80 intermediates were prepd. and characterized. When tested orally in mice at doses below 200 mg/kg. I reduced glucose levels by up to -73%, and reduced serum triglycerides by up to -56%, with favorable changes in lipid parameters (no specific data). For instance, 4-(4-morpholinyl) shiline reacted with Rt 2-bromopropionate and NaOAc in RtOH to give 65% N-14-(4-morpholinyl) phenyl]-DL-alanine Rt ester. Cyclocondensation of this amine ester with 4-(isothiocyanato) anisole in refluxing toluens in the presence of AcOH gave 82.5% title compd. II.
1/1937-62-99, 1-(4-(Morpholin-4-Yl))henyl)-3-(3-oxo-2,3-dihydro-4H-1,4-benzoxazin-7-yl)-5,5-dimethyl-2-thioxo-4-imidazolidinone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses)

(drug candidater preparation of aromatic-substituted thiohydantoins for treatment of diabetes, dyslipidemia, and obesity)

471937-62-9 CAPIUS

2H-1,4-Benzoxazin-3(4(H)-one, 7-(4,4-dimethyl-3-(4-(4-morpholinyl))phenyl)-5-oxo-2-thioxo-1-imidazolidinyl)- (SCI) (CA INDEX NAME)

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REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 20

L4 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
137:201320
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DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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OTHER S	OURCE	(s) :			CAS	REAC	т 13	7:20							_		

ANSWER 5 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

The title compound (I), which is useful in the treatment of CNS disorders, is prepared by the salification of the I free base with methanesulfonic acid.

acid. 452305-55-4

492305-55-4
RI: RCT (Reactant); RACT (Reactant or reagent)
(in the preparation of a piperazinyl-2-methyl-2H-1, 4-benzoxazin-3(4H)-one methanesulfonate with high affinity for the dopamine D2 receptor and the serotonin-reuptake site)
452305-55-4 CAPIUS
2H-1, 4-Benzoxazin-3(4H)-one, 8-[4-[3-[5-fluoro-1H-indol-3-y1)propyl]-1-piperazinyl]-2-methyl- (9CI) (CA INDEX NAME)

IT

482305-36-59
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of a piperaziny1-2-methy1-2H-1,4-benzoxazin-3(4H)-one methanesulfonate with high affinity for the dopamine D2 receptor and the serotonin-reuptake site)
452305-36-5 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 8-[4-[3-[5-fluoro-1H-indol-3-y1)propy1]-1-piperaziny1]-2-methy1-, monomethanesulfonate (SCI) (CA INDEX NAME)

<03/01/2005>

L4 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

CM 1

CRN 452305-55-4 CMF C24 H27 F N4 02

CM 2

CRN 75-75-2 CMF C H4 03 S

REFERENCE COUNT:

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THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2002:332196 CAPLUS DOCUMENT NUMBER: 136:355241

DOCUMENT NUMBER: TITLE:

136:355241
Preparation of benzoxazinones as antidepressants and anxiolytics
Johnson, Christopher Norbert; Rami, Harshad Kantilal; Stemp, Geoffrey; Thewlis, Kevin; Thompson, Mervyn; Vong, Antonio Kuok Keong Smithkline Beecham P.L.C., UK PCT Int. Appl., 97 pp.
CODEM: PIXXD2
Patent INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	TENT :	NO.			KIN	D	DATE								Đ	ATE	
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	2002									WO 2	001-	EP12	344		2	0011	022
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ANSWER 6 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-[3-[2-(5-isoxazolyl)phenoxy]propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

420785-64-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

420785-67-7 CAPLUS
Benzonitrile, 2-{4-[4-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-1-piperazinyl}butoxy}- (9CI) (CA INDEX NAME)

420785-68-8 CAPLUS 2H-1,4-Benzowazin-3(4H)-one, 6-[4-[4-[2-(5-isoxazolyl)phenoxy]butyl]-1-piperazinyl]- (SCI) (CA INDEX NAME)

Page 21

L4 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

The title compds. (I; Ar = (un) substituted Ph, naphthyl, a monocyclic or a bicyclic heteroarcm. group; when Ar = Ph or a monocyclic heteroarcm. group, substituents positioned ortho to one another may be linked to form a 5-6 membered ring; R1 = H, alkyl, alkenyl, alkynyl, arylalkyl; R2 = halo, alkyl, CN, CF3, alkancyl, alkony, CH, X = CH, N, Y = a single bond, O, CO; p = 0-2; r = 0-3; n = 2-4; n, q = 1-2], useful as medicaments for various CNS disorders, including depression and/or anxiety, were prepared Thus, reacting 6-(4-piperidinyloxy)-4H-benzo[1,4]oxazin-3-one; HCl with 4-1H-indolyloxyacetaldebyde in the presence of NaHH(OAc)3 in 1,2-dichloroethane afforded 63% I [Ar = 4-indolyl, R1 = H; X = CH; Y = O; p = O; q = 1; n, m = 2; r = 0]. All compds. I tested according to the radioligand binding assay were found to have pKi values > 6.0 at 5-HTIA receptors.

receptors. 420785-61-1P 420785-62-2P 420785-63-3P 420785-64-4P 420785-67-7P 420785-68-8P 420785-69-9P

RI: FAC (Pharmacological activity), SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); FREF (Preparation); USES (Uses)

(preparation of benzoxazinones as antidepressants and anxiolytics)
420785-61-1 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-[4-[3-(1H-indol-4-yloxy)propyl]-1piperazinyl]- (9CI) (CA INDEX NAME)

420785-62-2 CAPLUS Benzonitrile, 2-43-[4-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-1-piperazinyl]propoxy)- (9CI) (CA INDEX NAME)

420785-63-3 CAPLUS

ANSWER 6 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

420785-69-9 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 6-[4-[3-(5-quinolinyloxy)propyl]-1-piperazinyl]- (9Cl) (CA INDEX NAME)

420786-50-1P

420786-50-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of benzoxazinones as antidepressants and anxiolytics) 420786-50-1 CAPLUS 21-1,4-Benzoxazin-3(4H)-one, 6-(1-piperazinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

L4 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2001:866749 CAPLUS DOCUMENT NUMBER: 135:371747

135:371747
Preparation of herbicidal imidazolidinetrione and thioxoinidazolidinediones
Li, Bin: Man, Ying: Zhang, Zongjian; Hsu, Adam Chi-tung
Dow Agrosciences LLC, USA
EUR. Pat. Appl., 17 pp.
CODEN: EPXXDW
Patent DOCUMENT NUMBER: TITLE:

INVENTOR (S):

PATENT ASSIGNEE (S):

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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			IE.	SI,	LT.	LV,	FI.	, RO											
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RIORI	TY A	APP	LN.	INFO	. :						US	2000	-551	1345		λ :	20000	418	
THER	SOU	RCE	(S):			MAR	PAT	135:	3717	47									

1-Substituted-phenyl-3-substituted-2-thioxo-4,5-imidazolidinediones and 2,4,5-imidazolidinetriones I [R = H, alkyl, cycloalkyl, alkynyl, etc., XI = H, halor XZ = halo, cyano, NO2r X3 = haloalkyl, alkoxy, alkylcarbonyl, etc., X4 = H, halor X = No Y = O, XI, which have activity as herbicides, were prepared E.g., herbicidal activity of I with four monocot weeds, four dicot weeds and one sedge weed were tested. E.g., 1-(2-fluoro-4-chloro-5-methoxycarbonylphenyl)-3-isopropyl-2,4,5-imidazolidinetrione was prepared 374718-07-79 374718-11-39-99 374718-09-99 374718-10-29 374718-11-39 374718-13-59 374718-14-99 34718-11-39 374718-13-59 BX (Biological study), unclassified), SFN (Synthetic preparation), BIOL (Biological study), PREP (Preparation), USES (Uses) (preparation of herbicidal imidazolidinetrione and thioxomidazolidinediones) 374718-07-7 CAPLUS

ANSWER 7 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 374718-11-3 CAPLUS 4,5-Inidazolidinedione, 1-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propenyl)-2H-1,4-benzoxazin-6-yl]-3-propyl-2-thioxo- (9CI) (CA INDEX NAME)

374718-13-5 CAPLUS 4,5-Inidazolidinedione, 1-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-3-propyl-2-thioxo-(9CI) (CA INDEX NAME)

374718-14-6 CAPLUS
4,5-Imidazolidinadione, 1-(4-butyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-3-propyl-2-thioxo- (9CI) (CA INDEX NAME)

374718-15-7 CAPLUS
4,5-Imidazolidinedione, 1-ethyl-3-[7-fluoro-3,4-dihydro-4-(methoxymethyl)-3-oxo-2H-1,4-benzoxazin-6-yl]-2-thioxo- (9CI) (CA INDEX NAME)

374718-16-8 CAPLUS
4,5-Imidazolidinedione, 1-ethyl-3-[7-fluoro-3,4-dihydro-3-oxo-4-{2-propynyl}-2H-1,4-benzoxazin-6-yl]-2-thioxo- (9CI) (CA INDEX NAME) <03/01/2005>

Page 22

ANSWER 7 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Inidazolidinetrione, [7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]methyl- [9CI) (CA INDEX NAME)

CAPLUS Imidazolidinetrione, [7-fluoro-3,4-dihydro-3-oxo-4-(2-propyny1)-2H-1,4-benzoxazin-6-y1]propyl- (9CI) (CA INDEX NAME)

374718-09-9 CAPLUS Imidazolidinetrione, [7-fluoro-3, 4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl] (2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

374718-10-2 CAPLUS 4,5-Imidazolidineione, 1-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-3-propyl-2-thioxo- (SCI) (CA INDEX NAME)

L4 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2001:629000 CAPLUS DOCUMENT NUMBER: 135:357896

135:357896

New 1-ary1-4-(biary1methylene)piperazines as potential atypical antipsychotics sharing dopamine D2-receptor and serotonin 5-HT1A-receptor affinities Feenstra, R. W., de Hoes, J., Hofma, J. J., Xling, H., Kuipers, W., Long, S. K., Tulp, H. T. H., van der Heyden, J. A. M., Kruse, C. G. Research Laboratories, Solvay Pharmaceuticals, Weesp, 1390 DA, Neth TITLE:

AUTHOR (S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

OTHER SOURCE(S):

Research Laboratories, Solvay Pharmaceuticals, Weesp,
1380 DA, Neth.

ICE: Biocorganic & Medicinal Chemistry Letters (2001),
11(17), 2345-2349

CODEN: BMCLER; ISSN: 0960-894X

LISHER: Elsevier Science Ltd.

MENT TYPE: Journal

MAGE: English

IR SOURCE(S): CASREACT 135:357896

1-Aryl-4-(biarylmethylene) piperazines were prepared and their affinity for
D2 and 5-HTIA receptors was determined. A selection of these compds. was
evaluated in vivo, resulting in the identification of a drug candidate
which is being clin. evaluated as a potential atypical antipsychotic with
reduced extrapyrimidal side effects.

RL: RAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(preparation of 1-aryl-4-(biarylmethylene) piperazines as potential
antipsychotics sharing domanine D2-receptor and secontonia

ical
antipsychotics sharing dopamine D2-receptor and serotonin
5-HTIA-receptor affinities)
15-HTIA-receptor affinities)
28-14-48-parcoxazin-3(4H)-one, 8-[4-([1,1'-biphenyl]-3-ylmethyl)-1piperazinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 2001:152642 CAPLUS DOCUMENT NUMBER: 134:193447 New phenylpierazines Wan Hea, Roelof, Van Der Heijd

134:193447
New phenylpiperazines
Van Hes, Roelof; Van Der Heijden, Johannes A. M.;
Kruse, Cornelis G.; Tipker, Jacobus; Tulp, Hartinus T.
M.; Visser, Gerben M.; Van Vilet, Bernard J.
Solvay Pharmaceuticals B.V., Neth.
PCT Int. Appl., 26 pp.
CODEN: PIXXD2

PATENT ASSIGNEE(S): SOURCE:

Patent English DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

												LICAT						
	WO	2001	0143	30		A2		2001	0301			2000-					0000	
	WO	2001	0143	30		A3		2001	0802									
		W:	AE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	В	, BR,	BY.	CA,	CH,	CN,	CR,	CU.
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	LF											2000-						
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		2000						2001										
		2246										2002-						
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Page 23

ANSVER 8 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: RCT (Reactant): RACT (Reactant or reagent)
(prepn. of 1-aryl-4-(biarylmethylene)piperazines as potential atypical
antipsychotics sharing dopamine D2-receptor and serotonin
5-HTIA-receptor affinities)
105685-36-7 CAPLUS

2H-1,4-Benzoxazin-3(4H)-one, 8-(1-piperaziny1)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Phenylpiperazines such as I were prepared Thus, I was prepared in 57% yield by refluxing 13.6 mmol II with 15.1 mmol 5-fluoroindole derivative III, 2 mL EtNN, and a catalytic amount of KI in 100 mL MeCN for 18 h. 327026-92-6 327026-95-9 327027-00-9

327026-92-6 327026-95-9 327027-00-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(arylpiperazine preparation)
327026-92-6 CAPIUS
2H-1,4-Benzoxazin-3(4H)-one, 2-methyl-8-(1-piperazinyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

327026-95-9 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-chloro-2,4-dimethyl-8-(1-piperazinyl)-, (2R)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PRI

GI

327027-00-9 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2,2-dimethyl-8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

327026-93-7P 327026-96-0P 327027-01-0P
327027-05-4P 327027-06-5P 327027-07-6P
327027-08-7P 327027-09-8P 327027-17-8P
327027-18-9P 327027-19-0P
RL: SPN (Synthetic preparation), PREP (Freparation)
(arylpiperazine preparation)
327026-93-7 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 8-[4-[3-(5-fluoro-1H-indol-3-y1)propy1]-1piperaziney1]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

327027-05-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 8-[4-[3-(1H-indol-3-yl)propyl]-1-piperazinyl]-2-methyl-, (ZN)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

327027-06-5 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 8-[4-[3-(1H-indol-3-yl)propyl]-1-piperazinyl]2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

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L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

327026-96-0 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-chloro-8-[4-[3-(5-fluoro-lH-indol-3-yl)propyl]-1-piperazinyl]-2,4-dimethyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

327027-01-0 CAPLUS 2H-1,4-Benzowazin-3(4H)-one, 8-[4-[3-(5-fluoro-1H-indol-3-y1)propy1]-1-piperaziny1]-2,2-dimethyl- (SCI) (CA INDEX NAME)

L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

327027-07-6 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 8-[4-[3-(5-fluoro-lH-indol-3-yl)propyl]-1-piperazinyl]-2-methyl-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

327027-08-7 CAPLUS
2H-1;4-Benzoxazin-3(4H)-one; 8-[4-[3-(7-fluoro-lH-indol-3-yl)propyl]-1piperazinyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

327027-09-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 8-[4-[3-(7-fluoro-lH-indol-3-yl)propyl]-1-piperazinyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

327027-17-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 8-[4-[3-(1H-indol-3-yl)propyl]-1-piperazinyl]-2,4-dimethyl-, (2R) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Page 25

L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

327027-18-9 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 8-[4-[3-(5-fluoro-1H-indol-3-yl)propyl]-1-piperazinyl]-2,4-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

327027-19-0 CAPLUS 2H-1,4-Benzokazin-3(4H)-one, 8-[4-[3-(1H-indol-3-yl)propyl]-1-piperazinyl]-2,4-dimethyl-, (25) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L4 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:680067 CAPLUS
DOCUMENT NUMBER: 131:296514
Herbicides containing fused imidazolinone derivatives
Kondo, Yasuur Mizukoshi, Takashir Akiyama, Shigeakir
Watanabe, Shigeomir Akiyoshi, Chiakir Oki, Susumu
Missan Chemical Industries, Ltd., Japan
Jpn. Kokai Tokkyo Koho, 75 pp.
CODEN: JUGGAF
Patent INFORMATION:

LAMGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 11292720	A2	19991026	JP 1998-101428	19980413
PRIORITY APPLN. INFO.:			JP 1998-101428	19980413
OTHER SOURCE(S):	MARPAT	131:296514		
GI				

 $\ensuremath{\mathsf{AB}}$ Agrochems., and especially new herbicides, contain fused imidazolinone derivs.

vs. (e.g., I). Thus, in a greenhouse pot experiment I at 10 g/are gave ≥90% control of Echinochloe crus-galli, Scirpus juncoides, and Monochoria vaginalis with almost no damage to rice. Preparative examples and formulations are given. 247181-48-

247181-48-2
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(preparation and herbicidal efficacy of)
247181-48-2 CAPLUS
2H-1,4-Benzowazin-3(4H)-one, 6-[1,2-dibydro-2-oxo-1-(2-propenyl)-3H-imidazo[4,5-b]pyridin-3-y1]-7-fluoro-4-(2-propynyl)- (9CI) (CA INDEX NAME)

ANSWER 10 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
247181-46-0P 247181-47-1P
RL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SFN (Synthetic preparation): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation and herbicidal use of)
247181-46-0 CAPLUS
ZH-1,4-Benzoxazin-3(4H)-one, 6-{1-(difluoromethyl)-1,2-dihydro-2-oxo-3H-imidazo(4,5-b)pyridin-3-yl]-7-fluoro-4-(2-propynyl)- (SCI) (CA INDEX NAME)

247181-47-1 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 7-fluoro-6-[1-(3-fluoropropyl)-1,2-dihydro-2oxo-3H-indazo{4,5-b}pyridin-3-yl]-4-(2-propynyl)- (9CI) (CA INDEX NAME)

247181-57-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction of) 247181-57-3 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-(1,2-dihydro-2-oxo-3H-imidazo[4,5-b]) pyridin-3-yl]-7-fluoro-4-(2-propynyl) - (9CI) (CA INDEX NAME) ΙŤ

ANSWER 11 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) study, unclassified), SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses) (prepn. of 5-piperazinotetrahydroquinolines and analogs as 5-HT1 receptor agonists) 221193-80-2 CAPLUS Eenzamide, N-[(1R)-2-[4-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-y1)-1-piperazinyl]-1-methylethyl]-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

105685-36-7
RL: RCT (Reactant), RACT (Reactant or reagent)
(preparation of 5-piperazinotetrahydroquinolines and analogs as 5-HT1 receptor agonists)
105685-36-7 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 8-(1-piperazinyl)- (9CI) (CA INDEX NAME)

221194-17-8P 221194-19-OP
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of 5-piperazinotetrahydroquinolines and analogs as 5-HT1
receptor agonists)
221194-17-8 CAPLUS
Carbamic acid, [(1R)-2-[4-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-8-yl)-1piperazinyl]-1-methylethyl]-, phenylmethyl ester (SCI) (CA INDEX NAME)

Absolute stereochemistry.

<03/01/2005>

Page 26

L4 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1999:176950 CAPLUS DOCUMENT NUMBER: 130:223299 130:223299
Preparation of 5-piperazinotetrahydroquinolines and analogs as 5-HT1 receptor agonists
Feenstra, R. W., Visser, G. M., Kruse, C. G., Tulp, H. T. H., Long, S. K.
Duphar International Research B.V, Neth.
Eur. Pat. Appl., 26 pp.
CODEN: EPXXW/
Patent
Patent DOCUMENT NUMBER: TITLE: INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

AFFLICATION NO. DATE

A1 19990310 EF 1998-202832 19980824
B1 20031029
DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, FT, LV, FT, RO
E 20031115 AT 1998-202832 1998-202832
AA 19990302 CA 1998-2246126
A2 19990602 JF 1998-PATENT NO. EP 900792 EP 900792

R: AT, BE, CH,

IE, S1, LT,

AT 253058

CA 2246126

JP 11147871

US 6214829

PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

GI AT 1998-202832 CA 1998-2246126 JP 1998-259105 US 1998-144076 EP 1997-202704 19980824 19980828 19980831 19980831 A 19970902 MARPAT 130:223299

Title compds. [1, Q = CH2CRSR6ZR7; R,R3,R4 = H or alky1; R1 = H or F; R2 = H, alky1, oxo (sic); RR2 = bond; R5,R6 = H, alky1, alky1pheny1; R7 = cyclic group (sic); (hetero)ary1, admanty1, etc.; T = N or C (sic); Y = C, O, N, or S (sic); Z = CH2O, CH2CO, NHCO, etc.; Z1 = (CR'!pp,R' = H or alky1; Z2 = (CH2)ar n = 1 or 2; p = 0-2; dashed lines = optional bond(s)] were prepared Thus, 5-(1-piperaziny1)-1,2,3,4-tetrahydroquinoline was alky1ated by C1(CH2)SCOC6H87-4 to give I $\{Q = (CH2)SCOC6H87-4; R-R4 = H, T = N, Y = Z1 = Z2 = CH2, dashed lines = null]. Data for biol. activity of I were given. 221193-80-2p RL: BAC (Biological activity or effector, except adverse); BSU (Biological$ ΙT

L4 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

221194-19-0 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 8-[4-[(2R)-2-aminopropyl]-1-piperazinyl]-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:485181 CAPLUS

DOCUMENT NUMBER: 129:119080

Methods of conferring resistance to herbicides inhibiting protoporphyrinogen biosynthesis to crop plants

INVENTOR(S): Boynton, John E., Gillham, Nicholas W., Randolph-Anderson, Barbara L., Ishige, Fumiharu, Sato, Ryo

Randorph-Anderson, Barbara 1., Ishiya, Fuminator Sa Ryo Sumitomo Chemical Co., Ltd., Japan, Duke University PCT Int. Appl., 109 pp. CODEN: PIXXD2 Patent English

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. CO PATENT INFORMATION:

PA	TENT	NO.			KIN	0	DATE		А	PP	LICAT	ION	NO.			ATE		
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WO	9829				A1		1998	0709	¥	0	1996-	US 20	415		1	9961	227	
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	RW:	AT.	BE.	CH.	DE.	DK.	ES.	FI.	FR.	GB	. GR.	IE.	IT.	LU.	MC.	NL.	PT.	
CA	2276	053			AA		1998				1996-							
UA	9714	298			A1		1998	0731	A	U	1997-	1429	8		1	9961	227	
AU	7399	48			B2		2001	1025										
EP	1007	703			A1		2000	0614	E	P	1996-	9445	19		1	9961	227	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	FI															
JP	2002	5280	36		T2		2002	0827	J	P,	1998-	5299	41		1	9961	227	
PRIORIT	Y APP	LN.	INFO	. :					¥	0	1996-	US20	415	1	۱ ۱	9961	227	
									U	5	1997-	4830	3P	1	2 1	9970	530	
OTHER S	OURCE	(S):			MARI	TAS	129:	1190	80									
													L					_

R SOURCE(S): MARPAT 129:119080
Genes for herbicide-resistant Variants of protoporphyrinogen oxidase are described for use in creating herbicide-resistant crop plants. Resistance to these herbicides should allow for simpler and more effective weed management, and increase the value of these herbicides for agricultural use. The Chlamydomonas reinhardti gene for protoporphyrinogen oxidase is identified and herbicide-resistance alleles created. Protoporphyrinogen oxidase genes of Chlamydomonas reinhardti and Arabidopsis thaliana were cloned by complementation of a hemG mutant of Escherichia coli. In

cloned by complementation of a second control of the present invention provides methods to evaluate the inhibitory effects of test compds. on protoporphyrinogen oxidase activity, as well as methods to identify protoporphyrinogen oxidase inhibitors among test compds. Preferred cloned DNA fragments encoding protoporphyrinogen oxidase enzymes resistant to porphyric herbicides are also described.

II 123249-72-9

RL: AGR (Agricultural use), BIOL (Biological study), USES (Uses)

123249-72-9

RL: AGR (Agricultural use): BIOL (Biological study): USES (Uses)
(plant resistance to: methods of conferring resistance to herbicides
inhibiting protoporphyrinogen biosynthesis to crop plants)
123249-72-9 CAPUS
Inidazo[1,5-a]pyridine=1,3(2H,5H)-dione, 2-(7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1998:13963 CAPLUS DOCUMENT NUMBER: 128:61517

TITLE:

128:61517

Herbicidal bicyclic hydantoin derivatives, intermediates and process for their preparation Hirai, Kenjir Yano, Tomoyukir Okano, Natsukor Ikemoto, Kazuhisar Yoshir, Tomokor Ugai, Sadayukir Ueda, Takuya Sayami Chemical Research Center, Japann Karen Pharmaceutical Co., Ltd. PCT Int. Appl., 72 pp. CODEN: PIXXD2

Patent Japanese
1 INVENTOR (S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE Al 19971218 WO 1997-JP2046

CN, JP, XR, US

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

Al 19980107 AU 1997-31069 19970613

JP 1996-154563 A 19960614

WO 1997-JP2046 W 19970613 WO 9747626 W: AU, BR, CA, RW: AT, BE, CH, AU 9731069 PRIORITY APPLN. INFO.: OTHER SOURCE(S):

AB The title compds. I [X = 0, S; A = 0, etc.; X1 = H, halo; X2 = H, halo, alkyl, etc.; X3 = H, halo, alkyl, nitro, etc.] ere prepared by, e.g., reacting an aryl isocyanate derivative with a dehydro(thio) morpholinecarboxyli c acid derivative Reaction of 5-ellyloxy-4-chloro-2-fluorophenylisocyanate with Me 2,3-dehydromorpholine-3-carboxylate in toluene containing triethylamine gave the title compound II in 63 yield. II (at 2.5 g/are) gave 75% control of Echinochloa crusgalli and caused < 25% damage to corn. 17 200425-13-49 200425-13-69 200425-16-79 200425-17-89

<03/01/2005>

Page 27

ANSWER 12 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSVER 13 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: AGR (Agricultural use); BAC (Biological activity or effector, except
adverse); BSU (Biological study, unclassified); IMF (Industrial
manufacture); SFN (Synthetic preparation); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(prepn. of bicyclic hydantoin derivs. as herbicides)
200425-13-4 CAPLUS
H1-IndiazO(5,1-c][1,4] oxazine-1,3(2H)-dione, 2-(7-fluoro-3,4-dihydro-3-oxo2H-1,4-benzoxazin-6-yl)-5,6-dihydro-(9CI) (CA INDEX NAME)

200425-15-6 CAPLUS
1H-Imidazo[5,1-c][1,4]oxazine-1,3(2H)-dione, 2-(7-fluoro-3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-6-yl)-5,6-dihydro- (9CI) (CA INDEX NAME)

200425-16-7 CAPLUS
1H-Inidazo[5,1-e][1,4]oxazine-1,3(2H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo
4-(2-propenyl)-2H-1,4-benzoxazin-6-yl]-5,6-dihydro-(9CI) (CA INDEX NAME)

200425-17-8 CAPLUS
1H-Imidazo[5,1-e][1,4]oxazine-1,3(2H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-5,6-dihydro-(SCI) (CA INDEX NAME)

L4 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

Page 28

(Continued)

L4 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:679080 CAPLUS
COCUMENT NUMBER: 127:331506 'TITLE: Preparation of 1-biphenylylmethyl-4-heteroarylpiperazines and analogs as nervous system

heteroarylpiperazines and analogs as nervous system agents
Feenstra, Roelof Willems Kruse, Cornelis Gerrits Tulp,
Martinus Theodorus Marias Kuipers, Wilmas Long,
Stephen Kenneths et al.
Duphar International Research B.V., Neth.
PCT Int. Appl., 30 pp.
CODEN: PIXXD2
Patent
English
1 INVENTOR (S):

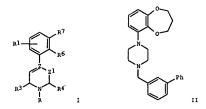
PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.		KIND	DATE	APPL	ICATION NO.	DATE
						19970320
W: AL,	AM, AT,	AU, AZ	, BA, BB,	BG, BR,	BY, CA, CH,	CN, CU, CZ, DE,
						KG, KP, KR, KZ,
						MX, NO, NZ, PL,
						UA, UG, US, UZ,
			, KG, KZ,			
						ES, FI, FR, GB,
				SE, BF,	BJ, CF, CG,	CI, CM, GA, GN,
ML,	MR, NE,	SN, TD	, TG			
CA 2250347		AA	19971009	CA 19	997-2250347	19970320 19970320
AU 9720294		A1	19971022	AU 19	997-20294	19970320
AU 708053		B2	19990729			
EP 889889		A1	19990113	EP 19	997-908288	19970320
R: AT,	BE, CH,	DE, DK	, ES, FR,	GB, GR,	IT, LI, LU,	NL, SE, MC, PT,
IE,	FI					
CN 1215400		A	19990428	CN 19	997-193520	19970320 19970320 19970320 19970320 19970320
CN 1100055		В	20030129			
BR 9708389		A	20000104	BR 19	997-8389	19970320
NZ 331860		A	20000428	NZ 19	997-331860	19970320
JP 20005079	49	T2	20000627	JP 19	997-534886	19970320
TR 9801942		T2	20000821	TR 19	998-9801942	19970320
RU 2178414		C2	20020120	RU 19	998-119523	19970320
CZ 294413		В6	20041215	CZ 19	998-3068	19970320
ZA 9702639		A	19971002	ZA 19	997-2639	19970326
TW 422846		В	20010221	TW 19	997-86104056	19970328
NO 9804533		A	19981102	NO 19	998-4533	19980928
KR 20000054	12	A	20000125	KR 19	998-708145	. 19980929
US 6225312		B1	20010501	US 19	999-155608	19990304
PRIORITY APPLN.	INFO.:			EP 19	996-200864	19970320 19970320 19970320 19970326 19970328 19980928 19980304 A 19960329
				WO 19	997-EP1461	W 19970320
OTHER SOURCE(S):		MARPAT	127:3315	06		
GI						
~.						

L4 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



Title compds. [I: R = CH222R5; RI = H or F: R3, R4 = H or alkyl: R5 = (un) substituted Ph. -furyl, -thienyl: R6R7 = atoms to complete a (un) substituted heterocyclic ring: Z = C or N; ZI = CH2 or CH2CH2: Z2 = 1,3-phenylene: dashed line = bond when Z = C and = null when Z = N] were prepared Thus, 1-(3,4-dihydrobenzodioxepin-6-yl)pleperazine was condensed with 3-bromomethylbiphenyl to give title compound II. Data for biol. activity of I were given.

197954-64-69

197954-64-69
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREF (Preparation); USES (Uses)
(preparation of 1-biphenylylmethyl-4-heteroarylpiperazines and analogs as nervous system agents)
197954-64-6 CAPLUS
2H-1,4-Benzoxazin-3(H)-one, 8-[4-([1,1'-biphenyl]-3-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1997:547276 CAPLUS DOCUMENT NUMBER: 127:149151 Preparation of N-phenylimidazol

TITLE: INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

127:149151
Preparation of N-phenylimidazolones as herbicides
Kilama, John Jolly
E. I. Du Pont de Nemours & Co., USA
U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 109,875,
abandoned.
CODEN: USXXAM
Patent

Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English 2

PAT	ENT :	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
						-									-		
US	5643	855			λ		1997	0701		US 1	995-	4541	55		1	9950	615
CA	2151	816			AA		1994	0707		CA 1	993-	2151	816		1	9931	207
WO	9414	817			A1		1994	0707		WO 1	993-	US11	636		1	9931	207
	W:	AU,	BB,	BG,	BR,	BY,	CA,	CZ,	FI,	HU,	JP,	KP,	KR,	KZ,	LK,	LV,	MG,
		MN,	MW,	NO,	NZ,	PL,	RO,	RU,	SD,	SK,	UA,	US,	US,	US,	US,	υz,	VN
	RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,

BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO:

US 1992-992880
US 1993-73010
US 1993-96526
B2 1
US 1993-96526 B2 19921221 B2 19930604 B2 19930722 B2 19930820 W 19931207 US 1993-109875 WO 1993-US11636

OTHER SOURCE(S): MARPAT 127:149151

Compds. such as Formula [1: Q = (un)substituted 2-halophenyl, benzene ring-condensed heterocyclyl: R1 = H, alkyl, haloalkyl, halo: R2 = (un)substituted C1-2 alkyl, CO2H, CONH2, or \$(0)nNH2, cyano, etc.: wherein n = 0-2: or R1 and R2 can be taken together along with the carbon to which they are attached to form C:GCCC2H, C:CMeCO2H, C:CECC2H, or esters. thereof, N-(un)substituted C:CHCONH2, C:CHCONH2, or C:CEtCONH2, G = CH,

Relative stereochemistry.

193342-22-2 CAPLUS
1H-Inidazo[5,1-c][1,4]oxazine-3-carboxylic acid, 2-(4-ethyl-7-fluoro-3,4-dhydro-3-oxo-2H-1,4-benzoxazin-6-yl)hexahydro-1-oxo-, ethyl ester, trans-(9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 15 OF 35 CAPLUS COFYRIGHT 2005 ACS on STN (Continued) 2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl) octahydro-1-oxo-, ethyl ester, (3α , $5a\alpha$, $6a\alpha$, $6b\beta$)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-27-7 CAPLUS
Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid,
2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-y1)octahydro-1-oxo-, ethyl ester, (3a,5aβ,6aβ,6ba)- (9CI) (CA

Relative stereochemistry.

193342-28-8 CAPLUS
1H-Inidazo[5,1-c][1,4]oxazine-3-carboxylic acid, 2-[7-fluoro-3,4-dihydro-4-(2-methylproyyl)-3-oxo-2H-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-29-9 CAPLUS
1H-Imidazo(5,1-c][1,4]oxazine-3-carboxylic acid, 2-[7-fluoro-3,4-dihydro-4-<03/.01/2005>

Page 29

ANSWER 15 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

193342-24-4 CAPLUS
Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic scid,
2-(4-ethyl-7-fluoro-3,4-dihydro-3-οκο-2H-1,4-benzoxazin-6-y1)octahydro-1οκο-, ethyl ester, (3α,5sβ,6sβ,6bβ)- (9CI) (CA INDEX
NAME)

193342-25-5 CAPLUS Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid, 2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2M-1,4-benzoxazin-6-yl)octahydro-1-oxo-, ethyl ester, $(3\alpha,5a\alpha,6a\alpha,6b\alpha)$ (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-26-6 CAPLUS Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid,

ANSWER 15 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (2-methylpropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, cis- (9C1) (CA INDEX NAME)

Relative stereochemistry.

193342-31-3 CAPLUS H-Pyrrolo[1,2-c]imidazole-3-carboxylic acid, 2-{7-fluoro-3,4-dihydro-4-{2-methylpropyl}-3-oxo-ZH-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, cis- [9:1] (CA INDEX NAME)

Relative stereochemistry.

193342-32-4 CAPLUS

IH-Pyrrolo[1, 2-6] imidazole-3-carboxylic acid, 2-[7-fluoro-3,4-dihydro-4-{2-methylpropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-33-5 CAPLUS

IM-Pyrrolo[1,2-6]imidazole-3-carboxylic acid, 2-{4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl}hexahydro-1-oxo-, ethyl ester, trans-(SCI) (CA INDEX NAME)

L4 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Relative stereochemistry.

193342-34-6 CAPLUS

IH-Pyrrolo[1,2-c]imidazole-3-carboxylic acid, 2-{4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl}hexahydro-1-oxo-, ethyl ester, cis-(9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 16 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

187750-21-6 CAPLUS
1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro-6-hydroxy- (9CI) (CA INDEX NAME)

187750-22-7 CAPLUS
1H-Pyrrolo[1,2-c]imidazole-1,3(2H)-dione, 6,6-difluoro-2-{7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro-, (R)-(SCI) (CA INDEX NAME)

Absolute stereochemistry.

Page 30

L4 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1997:196176 CAPLUS DOCUMENT NUMBER: 126:196422 DOCUMENT NUMBER: TITLE: Preparation of bicyclic imides as herbicides Schafer, Matthias; Drauz, Karlheinz; Feit, Dieter; Amuti, Kofi S. INVENTOR(S): Amutl, KOII 5.
B. I. Du Pont de Nemours & Co., USA
U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 942,800, abandoned PATENT ASSIGNEE (S): CODEN: USXXAM DOCUMENT TYPE: LANGUAGE: Patent English FAMILY ACC. NUM. COUNT:

PATENT NO. KIND DATE APPLICATION

US 5605877 A 19970225 US 1995-397282 19950310

WO 9405668 A1 19940317 WO 1993-EP2413 19930906

W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MV, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GM, ML, MR, NE, SN, TD, TG

DE 9321642 U1 20011213 DE 1993-9321642 PRIORITY APPIN. INFO:

US 1992-942800 BZ 19930906

DE 1993-69329683 A 19930906

OTHER SOURCE(S): MARPAT 126:196422

PATENT INFORMATION:

The title compds. I [Q = (un) substituted Ph, 2-phenyldioxolane, benzodioxole, etc./ R = OH, halo, alkyl, CN, etc./ m = 1-7] are prepared as herbicides. I may be used, i.a., in pre-emergence application to peanut. 18/730-20-59 18/730-21-69 10/730-22-79
RL: AGR (Agricultural use): SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation as herbicide) 18/750-20-5- CAPLUS (Preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (Preparation as herbicide) 18/750-20-5- CAPLUS (CAPUTO); (CA

Absolute stereochemistry.

L4 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1996:531796 CAPLUS DOCUMENT NUMBER: 125:167985 Hydantoin derivatives approach

125:167985
Hydantoin derivatives, process for producing the same and herbicides comprising the same as active ingredients
Hirai, Kenji; Yano, Tomoyuki; Okano, Natuko; Ugai, Sadayuki; Yamada, Osamu
Sagami Chemical Research Center, Japan; Kaken
Pharmaceutical Co., Ltd.
PCT Int. Appl., 111 pp.
CODEN: PIXXD2
Patent

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: Patent LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
TAILNI NO.		APPLICATION NO.	DALE
WO 9620195	A1 19960704	WO 1995-JP2683	19951226
W: AU, BR, CA,	CN, KR, US		
RW: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IE, IT, LU, MC,	NL, PT, SE
CA 2208263	AA 19960704	CA 1995-2208263	19951226
AU 9643157	A1 19960719	AU 1996-43157	19951226
AU 692030	B2 19980528		
JP 09040673	A2 19970210	JP 1995-338383	19951226
EP 801068	A1 19971015	EP 1995-941888	19951226
R: AT, CH, DE,	ES, FR, GB, GR,	IT, LI, PT, IE	
BR 9510107	A 19971125	BR 1995-10107	19951226
CN 1175255	A 19980304	CN 1995-197677	19951226
US 5883049	A 19990316	US 1997-836154	19970818
PRIORITY APPLN. INFO.:		JP 1994-324536	A 19941227
		JP 1995-122054	A 19950522
		WO 1995-JP2683	7 19951226

OTHER SOURCE(S): CASREACT 125:167985; MARPAT 125:167985

Novel 2-phenyl-5,6-dihydroimidazo[1,5-s]pyridine-1,3(2H,7H)-dione derivs.

(I; X = 0, S; X1 = H, halo, Cl-8 alkyl; X2 = H, halo, Cl-8 alkyl,
Y-CHRICOZR; X3 = H, halo, Cl-8 alkyl; Z83, NG2, NR4K5; or XZX3 =
Y-CHRICOXR6; wherein Y, Z = 0 or S; R1 = H, Cl-4 alkyl; R2 = Cl-6 alkyl,
aralkyl; R3 = H, Cl-11 alkyl, C3-8 cycloalkyl, C3-12 alkenyl or alkynyl,
Cl-8 alkoxycarbonylmethyl or alkoxycarbonyl; C7-11 aralkyloxycarbonyl; R4,
R5 = H, Cl-6 alkyl, C2-6 acyl, Cl-6 alkylsulfonyl, arylsulfonyl; R6 = H,
Cl-11 alkyl, C3-8 cycloalkyl, C3-12 alkenyl or alkynyl), having excellent
herbicidal activities, are produced by reacting aryl isocyanate derivs.
represented by general formula (II; X = 0, S; X1, X2a = H, halo, Cl-8
alkyl; X3a = H, halo, Cl-8 alkyl, ZR3a, NO2, NR4aR5b; R3a = Cl-11 alkyl,
C3-8 cycloalkyl, C3-12 alkenyl or alkynyl, Cl-8 alkoxycarbonylmethyl or

L4 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) alkoxycarbonyl, C7-11 aralkyloxycarbonyl, R4a, R5b = C1-6 alkyl, C2-6 acyl, C1-6 alkylsulfonyl, arylsulfonyl), with a dehydropipecolinic acid deriv. represented by general formula (111; R7 = H, C1-6 alkyl). Thus, a soln. of 4-chloro-5-cyclopentyloxy-2-fluorophenyl isocyanate and Et3N in toluene was added dropwise to a soln. of 111 (R7 = Et) in toluene under ice-cooling and stirred at the same temp. for 30, at room temp. for 7 h, at 60' for 1 h, and at 80' for 1 h to give I (X = 0, X1 = F, X2 = C1, X3 = cyclopentyl). I (X = 0, X1 = F, X2 = C1, X3 = M, A1 = M

180533-10-25

180533-10-2P
REL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SFN (Synthetic preparation): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation of phenyldihydroimidazopyridinedione derivs. as herbicides): 180533-07-7 CAPUS
Imidazo(1,5-a)pyridine-1,3(2H,5H)-dione, 2-(7-fluoro-3,4-dihydro-3-oxo-ZH-1,4-benzoxazin-6-y1)-6,7-dihydro- (9CI) (CA INDEX NAME)

180533-08-8 CAPLUS Imidax0[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4 (2-propenyl)-2H-1,4-benzoxazin-6-yl]-6,7-dihydro- (9CI) (CA INDEX NAME)

Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-(7-fluoro-3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-6-yl)-6,7-dihydro-(9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1996:190874 CAPLUS DOCUMENT NUMBER: 124:261061

TITLE:

124:261061
Preparation of 2-phenyl-7-chloroperhydroimidazo[1,5-a]pyridine herbicides for controlling undesired weeds Seckinger, Karly Mohanty, Sasank Sekhary Milzner, Karlheinzy Kuhnen, Fred Sandoz Ltd., Switz.; Sandoz-Patent-GmbHy Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H. Eur. Pat. Appl., 24 pp. CODEN: EFXXDW Patent INVENTOR (S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE EP 688773 EP 688773 A1 B1 19951227 EP 1995-810410 19950620 19980520 R: AT, BE, CH, DE, DX, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
US 5665681 A 19970909 US 1995-492607 19950621
JP 08053449 A2 19960227 JP 1995-154600 19950621
kity APPLN. INFO.: GB 1994-12603 A 19940623 JP 08053449 PRIORITY APPLN. OTHER SOURCE(S): GI CASREACT 124:261061; MARPAT 124:261061

The title compds. (I; X = 0, 5; R = H, Cl, F; Rl = F, Cl, Br, CN, Me; R2 - halogen, C 1-6 alkyl, Cl-6 alkoxy, Cl-6 alkylcarbonyloxy, C3-6 cycloalkoxy, C3-6 alkynyloxy, C3-6 alkenyloxy, C2H, etc.), useful as herbicides for the control of undesired weeds, are prepared Thus, 4-chloro-2-piperidinecarboxylic soid Me ester hydrochloride was reacted with the isocyanate of Me 2-chloro-4-fluoro-5-aninorinnamate, producing herbicidal Me 2-chloro-4-fluoro-5-(7-chloroperhydroimidazo[1,5-a]pyridine-1,3-dione-2-yyl]cinnamate, m.p. 162-163*
174798-43-7P 174798-44-8P 174798-45-9P
174798-30-P 174798-51-7P 174798-29-2P
174798-30-P 174798-54-0P 174798-55-1P
174798-56-2P 174798-59-59
RIX AGR (Agricultural use); SFN (Synthetic preparation); BIOL (Biological

ΙT

174798-56-2P 174798-59-5P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREF (Preparation); USES (Uses)
(preparation of 2-phenyl-7-chloroperhydroimidazo[1,5-a]pyridine herbicides
for controlling undesired weeds)
RN: 174798-43-7 CAPLUS
CN: 2H-1,4-Benzoxazin-3(4H)-one, 6-(7-chlorohexahydro-1-oxo-3-thioxoimidazo[1,5-a]pyridin-2(3H)-yl)-7-fluoro- (9CI) (CA INDEX NAME)

<03/01/2005>

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ANSWER 17 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

180533-10-2 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-6,7-dihydro- (9CI) (CA INDEX NAME)

ANSWER 18 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CAPLUS Inidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 7-chloro-2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

Inidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 7-chloro-2-(7-fluoro-3,4-dihydro-3-oxo-4-(2-propenyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

174798-46-0 CAPLUS 2H-1, 4-Benzoxazin-3 (4H) -one, 6-(7-chlorohexahydro-1-oxo-3-thioxoimidazo[1,5-a]pyridin-2(3H)-y1)-7-fluoro-4-(2-propynyl)- (9CI) (CA

CAPLUS Indiazo(1,5-a)pyridine-1,3(2H,5H)-dione, 7-chloro-2-[7-fluoro-3,4-dihydro-2-methyl-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro-(9CI) (CA INDEX NAME)

174798-49-3 CAPLUS Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 7-chloro-2-(7-fluoro-3,4-dihydro-4-(2-methyl-2-propenyl)-3-oxo-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

174798-50-6 CAPLUS Imidazo[1,5-a]pyridine-1,3 (2H,5H)-dione, 2-[4-(2-bromo-2-propenyl)-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]-7-chlorotetrahydro- (9CI) (CA INDEX NAME)

174798-51-7 CAPLUS 1/4/99-51-/ CAPUS
-S-Butenoic acid, 4-[6-(7-chlorohexahydro-1,3-dioxoimidazo[1,5-a]pyridin-2(3H)-y1)-7-fluoro-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-y1]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 18 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
174798-55-1 CAPLUS
Inidazo[1,5-a]pyridine-1,3(2H,5H)-dione,7-chloro-2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)tetrahydro- (9CI) (CA INDEX NAME)

174798-56-2 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 7-chloro-2-(7-fluoro-3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-6-yl)tetrahydro- (9CI) (CA INDEX NAME)

174798-59-5 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 7-chloro-2-(4-ethoxy-7-fluoro-3,4-dihydro-3-oxo-ZH-1,4-benzoxazin-6-yl)tetrahydro- (9CI) (CA INDEX NAME)

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L4 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

174799-52-8 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[4-(3-bromo-2-propenyl)-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]-7-chlorotetrahydro- (GCI)(CA INDEX RAME)

174798-53-9 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-{4-(2-butenyl)-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl}-7-chlorotetrahydro- (9CI) (CA INDEX NAME)

174798-54-0 CAPLUS Inidazo[1,5-a]pyridine-1,3(2M,5H)-dione, 7-chloro-2-[4-(2-chloro-2-propenyl)-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]tetrahydro-(9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1995:994364 CAPLUS DOCUMENT NUMBER: 124:87028

124:87028
Herbicidal tricyclic heterocycles and bicyclic ureas
Kilama, John Jolly
du Pont de Nemours, E. I., and Co., USA; Degussa
Aktiengesellschaft
PCT Int. Appl., 87 pp.
CODEN: PIXMO2
Patent
English
1 TITLE:

INVENTOR(S): PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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PA	TENT	NO.			KIN	D	DATE	:	,	PPI	LICAT	ION	NO.		D	ATE	
						-											
WO	9522	547			A1		1995	0824	,	70 :	1995-	US15	02		1	9950	210
	W:	AM,	AU,	BB,	BG,	BR	BY,	CA,	CN.	CZ,	EE.	FI.	GE,	HU.	JP.	KG.	KP.
							LV.										
		SK,	TJ,	TT,	UA,	US	. UZ.	VN									
	RW:	KE,	MW,	SD,	SZ,	UG	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IE,	IŤ,
		LU,	MC,	NL,	PT,	SE	BF,	BJ,	CF,	CG,	CI,	CH,	GA,	GN,	ML,	MR,	NE,
	•	SN,	TD,	TG													
CA	2183	328			AA		1995	0824		:A :	1995-	2183	328		1	9950	210
AU	9518	714			A1		1995	0904		W :	1995-	1871	4		1	9950	210
AU	6788	96			B2		1997	0612									
EP	7450	84			A1		1996	1204	1	P :	1995-	9109	26		1	9950	210
	R:	DE,	ES.	FR.	GB.	IT											
US	5700	761			A		1997	1223	τ	JS :	1996-	6931	07		1	9960	815
PRIORIT	Y APP	LN.	INFO	. :						IS :	1994-	1970	85		A2 1	9940	216
										70	1995-	US15	02		7 1	9950	210
OTHER S	OURCE	(\$):			MAR	PAT	124:	8702	8						_		

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

CRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Compds. I-IV, useful as herbicides, are disclosed [wherein Q = certain (un)substituted and/or (hetero)fused Ph groups; R1 = H, helo. C1-3 alkyl; R2 = H, F, Cl. Br; V = O, S; X = helo. cyanor m = 1, 2; p = 0 or 1 provided that when m = 2 then p = 0; R3 = H, Cl-5 [helo]alkyl, C3-6 [helo]cycloalkyl, (un)substituted Ph; plus N-oxides and salts]. For example, cis-1,2-cyclopropanedicarboximide [prepared in 3 steps] was reduced with EH3.THF and scidified to give 674 3-azabicyclo[3.1.0]hexane-HC1, which underwent N-chlorination with NCS, dehydrochlorination, cyanation with NaCN, and hydrolysis, to give 694 3-azabicyclo[3.1.0]hexane-2-carboxylic acid. This underwent smidation with 4-chloro-2-fluoro-5-2-carboxylic acid. This underwent smidation with this compact of the title compound V. At 100 ghap postemergence, V gave complete kill of barnyardgress, chickweed, cocklebur, lambsquarter, and morningslory. Data include characterizations of 54 compds. I, 5 example syntheses, and results from 6 different herbicidal screenings of various sets of I. 172404-13-59 172404-14-79 172404-18-189
172404-13-69 172404-14-79 172404-18-189
172404-19-29 17244-45-09
RL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): BIOL (Biological study); PREP (Preparation): USES (Uses)

L4 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (prepn. of herbicidal tricyclic heterocycles and bicyclic ureas)
RN 172404-13-6 CAPLUS
CN Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-1,3(2H,5H)-dione,
2-(4-ethyl-1-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-y1)tetrahydro-(9C1) (CA INDEX NAME)

172404-14-7 CAPLUS
Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-1,3(2H,5H)-dione,
2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6yl}tetrahydro- (9CI) (CA INDEX NAME)

172404-15-8 CAPLUS
Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-1,3(2H,5H)-dione,
2-[4-[cyclopropylmethyl]-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

172404-16-9 CAPLUS
Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-1,3(2H,5H)-dione,
2-[7-fluoro-3,4-dihydro-4-(3-methylbutyl)-3-oxo-2H-1,4-benzoxazin-6yl]tetrahydro-(9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

172644-45-0 CAPLUS
2-Butenoic acid, 4-[7-fluoro-6-(hexahydro-1,3-dioxocyclopropa[3,4]pyrrolo[1,2-c]imidazol-2(3H)-yl)-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)

Page 33

L4 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

172404-17-0 CAPLUS
4H-1,4-Benzokazine-4-acetic acid, a,7-difluoro-6-(hexahydro-1,3-dioxocycloprops[3,4]pyrrolo[1,2-c]imidazol-2(3H)-yl)-2,3-dihydro-3-oxo-,ethyl ester (9Cl) (CA INDEX NAME)

172404-18-1 CAPLUS
4H-1, 4-Benzowazine-4-acetic acid, 7-fluoro-6-(hexahydro-1,3-dioxocyclopropa[3,4]pyrcolo[1,2-c]imidazol-2(3H)-yl)-2,3-dihydro-3-oxo-,ethyl ester (9CI) (CA INDEX NAME)

172404-19-2 CAPLUS Cyclopropa [3,4] pyrrolo[1,2-c] imidazole-1,3 (2H,5H) -dione, 2-[7-fluoro-3,4-dihydro-2-methyl-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl] tetrahydro (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:888099 CAPLUS
DOCUMENT NUMBER: 123:332749
Herbicidal bicyclic hydantoins.
Schaefer, Mathias
du Pont de Nemours, E. 1, and Co., USA; Degussa
Aktiengesellschaft
PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Fatent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		D	ATE	
					-									-		
WO 9523	509			A1		1995	0908		WO	1995-	U526	65		1	9950	228
W:	AM,	AU,	BB,	BG,	BR,	BY,	CA,	CN,	CZ	, EE,	FI,	GE,	HU,	JP,	KG,	KP,
	KR,	KZ,	LK,	LR,	LT,	LV,	MD,	MG,	MN	, MX,	NO,	NZ,	PL,	RO,	RU,	SG,
	SI,	SK,	TJ,	TT,	UA,	US,	UZ,	VN								
RW:	KE,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE	, DK,	ES,	FR,	GB,	GR,	IE,	IT,
	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	CG	, CI,	CM,	GA,	GN,	ML,	MR,	NE,
	SN,	TD,	TG													
AU 9521	155			A1		1995	0918		ΑU	1995-	2115	5		1	9950	228
PRIORITY APP	LN.	INFO	. :						US	1994-	2040	27		A 1	9940	301
									WO	1995-	US26	65		W 1	9950	228
OTHER SOURCE	(S):			MAR	PAT	123:	3327	49								

The title compds. I [G = 0, S, NH; R1= halo, OH, CN, alkyl, etc.; R2 = H, OH, halor RIR2 = 0; Q = (un) substituted Ph, benzoxazinyl, etc.] are herbicides. I provide broad-spectrum weed control in citrus, sugarcane, coffee, benana, oil palm, loblolly pine, rubber tree, cocca, grapes, plantain, pineapple, fruit trees, and the like.
2-[4-chlor-2-fluoro-5-(1-mathylethoxy) phenyl]-7-fluorotetrahydroimidazo[1,5-a]pyridine-1,3(2H,5H)-dione is an example.
169584-93-4 169584-96-5 169584-97-6
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(herbicidal bicyclic hydantoins)
169584-95-4 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 7-fluoro-2-(7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

169554-96-5 CAPLUS
Inidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 8-fluoro-2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl}tetrahydro- (9CI) (CA INDEX NAME)

169554-97-6 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 7,7-difluoro-2-(7-fluoro-3,4-dibydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2 CRN 126-63-6 CMF C24 H51 03 P

Page 34

L4 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1995:46850 CAPLUS DOCUMENT NUMBER: 122:3566 DOCUMENT NUMBER: TITLE: 122:3500 Symergistic herbicidal mixtures containing a phosphonate. Mach, Martin: Fischer, Bernd; Bohner, Juergen: Rees, Richard INVENTOR (S): Richard Schering A.-G., Germany Ger., 9 pp. CODEN: GWXXAW Patent German 1 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE PATENT NO. KIND APPLICATION NO. DATE PATENT NO. KIND DATE APPLICATION NO. DATE

DE 4305542 C1 19940721 DE 1993-4305542 19930220
CA 2156494 AA 19940901 CA 1994-2156494 19940217
WO 9418837 A1 19940901 WO 1994-EP571 19940217
W: CA, RU, UA, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
EP 684766 A1 19951206 EP 1994-909081 19940217
EP 684766 B1 19970416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
AT 151598 E 19970515 AT 1994-909081 19940217
FRIORITY APPIN. INFO:: DE 1993-4305542 A 19930220
WO 1994-EP571 W 19940217
AB Synergistic mixts. comprise O,O-bis(2-ethylhexyl) (2-ethylhexyl) phosphonate (1) and any of 16 known herbicides. Thus, a mixture of 750 g chlortoluron and 46 g I/ha synergistically controlled Stellaria media and Matricaria chamomilla, when applied postemergence to winter wheat.

158988-74-0
RL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): BIOL (Biological study): USES (Uses)
(herbicide, synergistic)
158988-74-0 CAPLUS
Phosphonic acid, (2-ethylhexyl)-, bis(2-ethylhexyl) ester, mixt. with 2-(7-fluoro-3,4-dihydro-3-oxo-4-(1-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro-ZH-1,4-benzoxazin-3(4H)-one (9CI) (CA INDEX NAME)

CRN 158988-73-9 CMF C18 H16 F N3 O4

L4 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:630804 CAPLUS
DOCUMENT NUMBER: 121:230804 Herbicidal Imidazolones and Imidazol[1,5-a]pyridinones
INVENTOR(S): Kilama, John Jolly
du Pont de Nemours, E. I., and Co., USA
FOR INVENTITYPE: FOR INVENTITY I

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 9414817 Al 19940707 WO 1993-US11636 19931207 W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MR, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, US, US, US, UZ, VN RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, HC, NL, PT, SE, BF, BJ, CF, GG, CI, CM, GA, GM, HL, HR, NE, SN, TD, TG CA 2151816 AA 19940707 CA 1993-2151816 19931207 AU 9457338 A1 19940707 AU 1994-57338 19931207 AU 674912 B2 19970116 EP 674644 A1 19951004 EP 1994-903373 19931207
W0 9414817 W: AU, BB, BG, ER, BY, CA, CZ, FI, HU, JF, FY, RR, KZ, LK, LY, MG, MR, HW, NO, NZ, PL, RO, RU, SD, SK, UA, US, US, US, US, UZ, VN RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, HC, NL, PT, SE, BF, BJ, CF, GG, CT, CM, GA, GM, HL, HR, NE, SN, TD, TG CA 2151816 AN 9457338 A1 19940707 AU 9457338 AU 9457338 AU 9457338 AU 945745 A
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MV, NO, NZ, PI, RO, RU, SD, SK, UA, US, US, US, US, UZ, VN RV: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, FT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG CA 2151816 AA 19940707 CA 1993-2151816 19931207 AU 9457338 A1 19940719 AU 1994-57338 19931207 AU 674912 B2 19970116 EP 674644 A1 19951004 EP 1994-903373 19931207
MN, MV, NO, NZ, PL, RO, RU, SD, SK, UA, US, US, US, US, UZ, VN RV: AT, BE, CH, DE, DK, ES, PR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG CA 2151816 AA 19940707 CA 1993-2151816 19931207 AU 9457338 A1 19940719 AU 1994-57338 19931207 AU 674912 B2 19970116 EP 674644 A1 19951004 EP 1994-903373 19931207
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GR, ML, MR, NE, SN, TD, TG CA 2151816 AA 19940707 CA 1993-2151816 19931207 AU 9457338 A1 19940719 AU 1994-57338 19931207 AU 674912 B2 19970116 EP 674644 A1 19951004 EP 1994-903373 19931207
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GR, ML, MR, NE, SN, TD, TG CA 2151816 AA 19940707 CA 1993-2151816 19931207 AU 9457338 A1 19940719 AU 1994-57338 19931207 AU 674912 B2 19970116 EP 674644 A1 19951004 EP 1994-903373 19931207
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG CA 2151816 AA 19940707 CA 1993-2151816 19931207 AU 9457338 A1 19940719 AU 1994-57338 19931207 AU 674912 B2 19970116 EP 674644 A1 19951004 EP 1994-903373 19931207
CA 2151816 AA 19940707 CA 1993-2151816 19931207 AU 9457338 A1 19940719 AU 1994-57338 19931207 AU 674912 B2 19970116 EP 674644 A1 19951004 EP 1994-903373 19931207
AU 9457338 Al 19940719 AU 1994-57338 19931207 AU 674912 B2 19970116 EP 674644 Al 19951004 EP 1994-903373 19931207
AU 674912 B2 19970116 EP 674644 A1 19951004 EP 1994-903373 19931207
EP 674644 A1 19951004 EP 1994-903373 19931207
R: DE, ES, FR, GB, IT
US 5643855 A 19970701 US 1995-454155 19950615
PRIORITY APPLN. INFO.: US 1992-992880 A 19921221
US 1993-73010 A 19930604
US 1993-96526 A 19930722
US 1993-109875 A 19930820

OTHER SOURCE(S): MARPAT 121:230804

AB Imidazolones I (Q = aryl, heteroaryl, benzodiszepinyl, etc.; Rl = H; alkyl, haloalkyl, etc.; R2 = alkyl, alkony, carbony, etc.; A = alkyl, alkony, carbony, etc.; A = alkyl, alkonyl, etc.; W = oxygen, sulfur) were disclosed. The uses of I as herbicides are claimed. An example compound,

N-butyl-2-[4-chloro-2-fluoro-5-[(2-propynyl) oxylphenyl]octahydro-1-oxoimidazol[1,5-a]pyridine-3-carboxamide (II) was prepared

IT 13342-21-19 133342-22-29 193342-24-69

13342-23-19 193342-23-69 193342-31-3P

13342-23-40 193342-33-59 193342-31-3P

RE: AGR (Agricultural use); RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic

T T

ANSWER 22 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as herbicide)
193342-21-1 CAPLUS
HI-Inidaco [5,1-c][1,4] oxazine-3-carboxylic acid, 2-(4-ethyl-7-fluoro-3,4-dibydro-3-oxo-2H-1,4-benzoxazin-6-yl)hexahydro-1-oxo-, ethyl ester, cis-(971) (CA INDEX NAME)

(CA INDEX NAME)

Relative stereochemistry.

193342-22-2 CAPLUS IH-Imidazo[5,1-o][1,4]oxazine-3-carboxylic acid, 2-(4-ethyl-7-fluoro-3,4-dhydro-3-oxo-2H-1,4-benzoxazin-6-yl}hexahydro-1-oxo-, ethyl ester, trans-(9CI) (CA INDEX NAME)

193342-24-4 CAPLUS Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid, 2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-y1)octahydro-1-oxo-, ethyl ester, (3a,5aβ,6aβ,6bβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 22 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2-(4-ethyl-7-fluoro-3,4-dlhydro-3-oxo-2H-1,4-benzoxazin-6-yl)octahydro-1-oxo-, ethyl ester, (3a,5aB,6aB,6ba)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-28-8 CAPLUS
IH-Imidazo[5,1-c][1,4]oxazine-3-carboxylic acid, 2-[7-fluoro-3,4-dihydro-4-(2-methylpropyl)-3-oxo-ZH-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-29-9 CAPLUS
IH-Imidazo[5,1-c][1,4]oxazine-3-carboxylic acid, 2-[7-fluoro-3,4-dihydro-4-(2-mathylpropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, cis- [9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-31-3 CAPLUS
IH-Pyrrolo[1,2-c]imidazole-3-carboxylic acid, 2-[7-fluoro-3,4-dihydro-4-{2-methylpropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]haxahydro-1-oxo-, ethyl ester, <03/01/2005>

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ANSWER 22 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

193342-25-5 CAPLUS
Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid,
2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2X-1,4-benzoxazin-6-yl)octahydro-1-oxo-, ethyl ester, (3\alpha,5\alpha\alpha,6\alpha\alpha)- (9CI) (CA INDEX NAME)

193342-26-6 CAPLUS
Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid,
2-(4-ethyl-7-fluoro-3,4-dihydro-3-οxο-2H-1,4-benzoxazin-6-y1)octahydro-1cxo-, ethyl ester, (3α,5aα,6aα,6bβ)- (9CI) (CA
INDEX NAME)

Relative stereochemistry.

193342-27-7 CAPLUS Cyclopropa[3,4]pyrrolo[1,2-c]imidazole-3-carboxylic acid,

ANSWER 22 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN cip- (9CI) (CA INDEX NAME) (Continued)

Relative stereochemistry.

193342-32-4 CAPLUS

IH-Pyrrolo[1,2-0]imidazole-3-carboxylic acid, 2-[7-fluoro-3,4-dihydro-4-(2-methylpropyl))-3-oxo-2H-1,4-benzoxazin-6-yl]hexahydro-1-oxo-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

193342-33-5 CAPLUS
1H-Pyrrolo[1,2-o]imidazole-3-carboxylic acid, 2-{4-ethyl-7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl}hexahydro-1-oxo-, ethyl ester, trans-(9C1) (CA INDEX NAME)

Relative stereochemistry.

193342-34-6 CAPLUS
1H-Pyrrolo[1, 2-c]imidazole-3-carboxylic acid, 2-(4-ethyl-7-fluoro-3,4-dihydro-3-oxo-ZH-1,4-benzoxazin-6-yl)hexahydro-1-oxo-, ethyl ester, cis-(SCI) (CA INDEX NAME)

L4 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN Relative stereochemistry. (Continued)

ANSWER 23 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB Title compds. (I; R1 = alkyl, alkenyl, alkynyl) were prepared by 1) acetylation of 2-amino-5-fluorophenol with AcCl or Ac20 in the presence of an acid acceptor, optionally in a solvent, to give II, 2) treatment of II with R402CCH2Y (R4 = H, alkyl; Y = halo, Mes020, 4-MecGH4S020) to give the ether deriv, 3) nitration of the ether with HN03 or an (in)organic derivative, optionally in a solvent, 4) hydrogenation to give intermediate III, 5) acylation of the amine with chloroformate IV (X = halo, N02, cyanor n = 0-5) in an inert solvent, optionally in the presence of an (in)organic acid acceptor, 6) treatment of the resulting phenoxyacetate deriv with piperidinecarboxylate V (R2 = H, Me, Et) to give hydantoin VI, 7) cyclization of VI, optionally in the presence of acid or hase, and 8) treatment of the cyclized product with RIW (W = C1, Br, iodo, Mes020, 4-MecGH4S020). I (R1 = 2-propynyl) was prepared as above with yields of 84-939 per step.

II 123249-72-99
RL: SPN (Synthetic preparation), PREP (Preparation)

123249-72-99
REL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
123249-72-9 CAPLUS
Imidazo(1,5-a)pyridine-1,3(2H,5H)-dione, 2-(7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

153084-00-5P RL: SPN (Synthetic preparation); PREP (Preparation) <03/01/2005>

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OTHER SOURCE(S):

L4 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1994:164204 CAPLUS DOCUMENT NUMBER: TITLE: 120:164204 Preparation of D, L-2-(7-fluor-3-oxo-3,4-dihydro-ZH-1,4-benzoxazin-6-yl)perhydroimidazo[1,5-a]pyridine-1,3benzowazin-6-yl]perhydroimidazo[1,5-a]pyridine-1,3 diones Ganzer, Michaelr Puttner, Reinhold; Seba, Hartmut Schering A.-G., Germany Ger., 12 pp. CODEN: GWXXAV Patent German INVENTOR (S): PATENT ASSIGNEE (S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: C1 A1 APPLICATION NO. DE 1992-4208778 WO 1993-EP598 PATENT NO. DATE DATE DE 4208778 C1 19930923 DE 1992-4208778 19920317
W0 9318065 A1 19930930 W0 1993-EF598 19930310
W: HU, JP, KR, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
EP 631579 A1 19950104 EP 1993-906536 19930310
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
JP 07504671 T2 19950525 JP 1993-516237 19930310
HU 68172 A2 19950529 HU 1994-2674 19930310
HU 211068 B 19951030
PRIORITY APPLN. INFO::

DE 1992-4208778 A 19920317
W0 1993-EF598 W 19930310 DE 1992-4208778 WO 1993-EP598 A 19920317 W 19930310

MARPAT 120:164204

ANSWER 23 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (prepn. of, as herbicide intermediate) 153084-00-5 CAPLUS Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-(7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)tetrahydro- (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1993:488917 CAPLUS DOCUMENT NUMBER: 119:88917

DOCUMENT NUMBER: TITLE:

119:88917
Synergistic herbicidal compositions comprising an imidazopyridinedione derivative.
Johann, Gerhard, Rees, Richard
Schering A.-G., Germany
Ger. Offen., 8 pp.
CODEN: GWXEX
Patent INVENTOR (S):
PATENT ASSIGNEE(S):
SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT	NO.			KIN	D DATE	APPLICATION NO.	DATE
DE	4136	5740			A1	19930506	DE 1991-4136740	19911105
WO	9306	689			A1	19930513	WO 1992-EP2535	19921030
	W:	AU,	BR,	CA,	FI,	HU, JP, KR,	RU, US	
	RW:	AT,	BE,	CH,	DE,	DK, ES, FR,	GB, GR, IE, IT, LU, M	C, NL, SE
AU	9229	204			A1	19930607	AU 1992-29204	19921030
EP	6122	213			A1	19940831	EP 1992-923266	19921030
							GB, GR, IE, IT, LI, I	
HU	6687	12			A2	19950130	HU 1994-1307	
JP	0750	2498	3		Т2		JP 1992-508170	
BR	9206	5712			A	19951024	BR 1992-6712	19921030
ZA	9208	540				19930505		19921105
CN	1073	3071				19930616		
FI	9402	2050			λ	19940504		19940504
ORIT	Y APE	LN.	INFO	.:			DE 1991-4136740	
							WA 1992-TD2535	1 19921030

DRITY APPIN. INFO.:

DR 1991-4136740 A 19911105

W0 1992-EP2555 A 19921030

Mixts. of 2-(7-fluoro-3-oxo-4-(2-propynyl)-3,4-dihydro-2H-1,4-benzoxazin-6-yllperhydroimidazo[1,5-a]pyridine-1,3-dione (1) with glyphosate,
yllfosinate ammonium, aminotriazole or sethoxydim, are syneryistic
herbicides. Postemergence application of a mixture of 4 g I and 100 g
2,4-D/ha, synergistically controlled Sesbania exaltata, Bidens pilosa and
Amaranthus retroflexus.
148645-25-4 148645-26-5 148645-27-6
148645-27-6 148645-27-1 148645-32-3 148645-30-1
148645-31-2 148645-32-3 148645-33-4
148690-59-9

RL: AGR (Agricultural use); BAC (Biological activity or effector, except
adverse); BSU (Biological study, unclassified); BIOL (Biological study);
USES (Uses)
(herbicide, synergistic)
148645-25-4 CAPLUS
Benzoic acid, 2-[[[[4,6-dimethyl-2-pyrimidinyl)amino]carbonyl]amino]sulfo
nyl]-, methyl ester, mixt. with 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydroimidazo[1,5-a]pyridine1,3(2H,5H)-dione (9CI) (CA INDEX NAME)

CRN 123249-72-9 CMF C18 H16 F N3 O4

L4 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

148645-27-6 CAPLUS Acetic acid, (2,4-dichlorophenoxy)-, mixt. with 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl)-6,7,8,8a-tetrahydroimidazo[1,5-a]pyridine-1,3(2H,5H)-dione (9CI) (CA INDEX NAME)

CM 1

CRN 123249-72-9 CMF C18 H16 F N3 O4

CM 2

CRN 94-75-7 CMF C8 H6 C12 03

148645-28-7 CAPLUS
Benzoic acid, 3,6-dichloro-2-methoxy-, mixt. with 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydroimidazo[1,5-a]pyridine-1,3(2H,5H)-dione (9CI) (CA INDEX NAME)

CH 1

CRN 123249-72-9 CMF C18 H16 F N3 O4

Page 37

L4 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2

CRN 74222-97-2 CMF C15 H16 N4 05 S

148645-26-5 CAPLUS
3-Pyridinecarboxylic acid, 2-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-inidazol-2-yl]-, mixt. with 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2P-1,4-bencoxazin-6-yl]-6-7,8,8-t-etrahydroimidazo[1,5-a]pyridine-1,3(2H,5H)-dione (9CI) (CA INDEX NAME)

CM 1

CRN 123249-72-9 CMF C18 H16 F N3 O4

L4 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2

CRN 1918-00-9 CMF C8 H6 C12 O3

148645-29-8 CAPLUS Urea, N'-(3,4-dichlorophenyl)-N,N-dimethyl-, mixt. with 2-(7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl)tetrahydroimidazo[1,5-a]pyridine-1,3(2H,5H)-dione (9CI) (CA INDEX NAME)

CM 1

CRN 123249-72-9 CMF C18 H16 F N3 O4

CM 2

CRN 330-54-1 CMF C9 H10 C12 N2 O

148645-30-1 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro-, mixt. with
2-chloro-1-(3-ethoxy-4-nitrophenoxy)-4-(trifluoromethyl)benzene (9CI) (CAINDEX NAME)

CRN 123249-72-9 CMF C18 H16 F N3 O4

TH2-0== CH

CRN 42874-03-3 CMF C15 H11 C1 F3 N O4

148645-31-2 CAPLUS
Butanoic acid, 2-amino-4-{hydroxymethylphosphinyl}-, monoammonium salt, mixt. with 2-[7-fluoro-3,4-dihydro-3-oxo-4-[2-propynyl]-ZH-1,4-benzoxazin-6-yl]tetrahydroimidazo[1,5-a]pyridine-1,3(2H,5H)-dione (9CI) (CA INDEX NAME)

CM 1

CRN 123249-72-9

ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

148645-33-4 CAPLUS Inidazo[1,5-a] pyridine-1,3(2H,5H)-dione, 2-{7-fluoro-3,4-dihydro-3-oxo-4-(2-propyn)-2H-1,4-benzoxazin-6-yl]tetrahydro-, mixt. with 2-[1-(athoxyimino) butyl]-5-[2-(athylthio) propyl]-3-hydroxy-2-cyclohexen-1-one (9GI) (CA INDEX NAME)

CM 1

CRN 123249-72-9 CMF C18 H16 F N3 O4

СМ 2

CRN 74051-80-2 CMF C17 H29 N O3 S

148690-59-9 CAPLUS Glycine, N-(phosphonomethyl)-, mixt. with 2-[7-fluoro-3,4-dihydro-3-oxo-4(2-proppyyl)-2H-1,4-benzoxazin-6-yl]tetrahydroimidazo[1,5-a]pyridine-1,3(2H,5H)-dione (9CI) (CA INDEX NAME)

1 CM.

CRN 123249-72-9 CMF C18 H16 F N3 O4

Page 38

ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN CMF C18 H16 F N3 O4 (Continued)

2

CRN 77182-82-2 CMF C5 H12 N O4 P . H3 N

● NH3

148645-32-3 CAPLUS Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro-, mixt. with 1H-1,2,4-triazol-3-amine (9CI) (CA INDEX NAME)

CRN 61-82-5 CMF C2 H4 N4

L4 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2

CRN 1071-83-6 CMF C3 H8 N O5 P

HO2C-CH2-NH-CH2-PO3H2

123249-72-9D, mixts. containing RL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): BIOL (Biological study): USES (Uses)

USES (USES)
(herbicides, synergistic)
123249-72-9 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

DOCUMENT NUMBER: TITLE:

INVENTOR (S):

L4 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:124517 CAPLUS
DOCUMENT NUMBER: 118:124517
ITILE: 118:124517
Preparation of 1-acyl-2-carboxyl-4,5-epoxypiperidines as herbicides
Seckinger, Karl, Milzner, Karlheinz; Kuhnen, Fred, Mchanty, Sasank Sekhar
Sandoz Ltd., Switz., Sandoz-Patent-G.m.b.H.;
Sandoz Erfindungen Verwaltungsgesellschaft m.b.H.
Eur. Pat. Appl., 41 pp.
CODEN: EPYXDW
DOCUMENT TYPE: Patent
LANGUAGE: Patent
English
Taglish
Taglish
Taglish
Taglish PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 514339	A1	19921119	EP 1992-810350	19920511
R: AT, BE, CH,	DE. DK	ES, FR,	GB, GR, IT, LI, LU, NL,	PT, SE
HU 61654	A2	19930301	HU 1992-1457	19920430
CA 2068846	AA	19921118	CA 1992-2068846	19920515
AU 9216322	A1	19921119	AU 1992-16322	19920515
AU 644058	B2	19931202		
BR 9201856	A	19930105	BR 1992-1856	19920515
JP 05163274	A2	19930629	JP 1992-123139	19920515
ZA 9203570	A	19931115	ZA 1992-3570	19920515
US 5221744	A	19930622	US 1992-931250	19920817
PRIORITY APPLN. INFO.:		-	GB 1991-10679	19910517
			US 1992-880431	31 19920508
OTHER SOURCE(S):	MARPAT	118:12451	7	

Title compds. [I; R2 - H, halo; R3 - halo, cyano, alkyl; R4 - H, halo, NO2, amino, cyano, (cyano)alkyl, (cyano)alkenyl, alkynyl, (substituted) alkoxycarbonylalkyl, alkoxycarbonylalkoxylakyl, alkoxycarbonylalkoxylakyl, alkysvycarbonylalkenyl, alkylsulfonyl, etc.; R3R4 - atoms form an (O-, N-, or S-containing) (substituted) ring; R5 - H, alkyl, halo,

L4 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1991:429351 CAPLUS DOCUMENT NUMBER: 115:29351

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3922107	A1	19910117	DE 1989-3922107	19890705
PRIORITY APPLN. INFO.:			DE 1989-3922107	19890705
OTHER SOURCE(S):	MARPAT	115:29351		
GT				

Title compds. [I, R1, R2 - H, alkyl; R1R2 - alkylene; R3, R4 - H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, heteroarylalkyl; X - H, halo; Y, Z - O, S; A - O, CKR4, NR4, R1R2C:C; B - bond, CH2, MeCH, Ne2C] were prepared as herbicides and plant growth regulators (no data). Thus, a mixture of isopropylidenesuccinic anhydride and 5-amino-6-fluoro-2, 3-dihydro-2-oxo-3-proparyyl-1, 3-benzothiazoline was heated at 135 for 5 h in HOAc to give 66.71 title compound II. II was said to be superfor to a comparison compound against Galium and Polygonum.

126007-07-69 126007-08-19

126007-07-69 126007-08-79
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study); PREF (Preparation); USES (Uses) (preparation of, as herbicide and plant growth regulator); 126007-07-6 CAPLUS
2,4-Imidazolidinedione, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-

<03/01/2005>

Page 39

ANSVER 25 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) alkenyl, 107 R6 - (modified) carboxylates A = NHs or AR6 = NCX2; X1, X2 = 0, Ss m = 0-2] were prepd. as herbicides (no data). Thus, Me 4,5-epoxy-2-piperidinecarboxylate (prepn. given) was stirred with 4-chloro-2-fluoro-5-inopropoxyphenyl isocynante in PhMe to give title compd. II. I were said to be particularly effective against Abutilon theophrasti, Amaranthus retroflexus, and Solamun nigrum.
145981-39-19

145981-39-19
RLi AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)
145981-39-1 CAPLUS
Imidazo[1,5-a]oxireno[d]pyridine-4,6[2H,5H]-dione, 5-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

ANSWER 26 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1,4-benzoxazin-6-yl]-5-(1-methylethylidene)- (9CI) (CA INDEX NAME)

126007-08-7 CAPLUS
2,4-Imidazolidinedione, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-1-methyl-5-(1-methylethylidene)- (9CI) (CA INDEX

134440-46-3
RL: RCT (Reactant): RACT (Reactant or reagent)
(reaction of, in preparation of herbicide and plant growth regulator)
134440-46-3 CAPLUS
2.4-Imidazolidinedione, 3-(7-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-5-(1-methylethylidene)- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:143432 CAPLUS
TITLE: 14:143432 CAPLUS
TITLE: Herbidical benzoxezolinone and benzoxezinone derivatives
Ganzer, Michael, Dorfmeister, Gabriele, Franke, Wilfried, Johann, Garhard, Rees, Richard Schering A.-G., Gerbany
FATENT TYPE: ENTER COORDER EPYXDU
DOCUMENT TYPE: Patent German
FAMILY ACC. NUM. COUNT: 1
FATENT INFORMATION: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE EP 406993
EP 406993
R: AT, BE, CH,
DE 3922847
CN 1048545
DD 296402
HU 54163
JP 03115286
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI A2 19910109 EP 1990-250169
A3 19911227
DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
A1 19910117 DE 1989-3922847
A 19910116 CN 1990-103169
A5 19911205 DD 1990-342491
A2 19910128 HU 1990-4125
A2 19910128 JP 1990-177629
DE 1999-3922847
CASREACT 114:143432; MARPAT 114:143432 19900703 , SE 19890707 19900703 19900704 19900706 19900706 A 19890707

Title compds. I [n = 1,2; R = H, F, Cl; Rl = cyclic imido, thioimido, thiazabicycloalkylideneamino; X = (CH2)3, CH:CHCH2, CH2CH:CH, unsubstituted or substituted by Me or Et] were prepared Thus, the tetrahydrophthalimide II was obtained in 90% yield by treating the pyridobenzowazinylamine with tetrahydrophthalic anhydride. II at 0.3 kg/ha post-emergence caused >75% inhibition of several broad-leaf weeds. 132503-24-39 132503-25-49

RL: BAC (Bological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and herbicidal activity of)

132503-24-3 CAPLUS

Imidazo(1,5-a)pyridine-1,3(2H,SH)-dione, tetrahydro-2-(2,3,6,7-tetrahydro-3-oxo-SH-pyrido(1,2,3-de)-1,4-benzoxazin-8-y1)- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:158260 CAPLUS
DOCUMENT NUMBER: 112:158260 CAPLUS
ITILE: Preparation of benzoxazinyloxazolidinedione analogs as herbicides
Takahashi, Junya; Enomoto, Masayuki; Haga, Toru; Sakaki, Masaharu; Sato, Ryo
SUNCE: SUNICTION CHEMICAL CO., Ltd., Japan
EUR. Pat. Appl., 65 pp.
CODEN: EPXXDW
DOCUMENT TYPE: PRINTED PRIN

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT INFORMATION:				
PATENT NO.	KIND	DATE ·	APPLICATION NO.	DATE
EP 338533	A2	19891025	EP 1989-107028	19890419
EP 338533	A3	19920408		
EP 338533	B1	19941109		
R: CH, DE, FR,	GB, LI			
US 5322835	A	19940621	US 1989-337406	19890413
JP 02288878	A2	19901128	JP 1989-95892	19890414
RU 2010525	C1	19940415	RU 1990~4830595	19900803
PRIORITY APPLN. INFO.:			JP 1988-98590 A	19880420
			JP 1988-98591 A	19880420
			JP 1988-167924 A	19880705
			JP 1989-37855 A	19890216
OTHER SOURCE(S):	MARPAT	112:158260		

The title compds. [I, X = 0, S, Y = H, F, Z = 0, CH2, (substituted) imino, Rl = H, alkyl, alkenyl, alkynyl, etc.; R2-R4 = H, alkyl, n = 0,1], useful as herbicides, are prepared Bencoxazinylcarbamate II was refluxed with NaOMe in toluene for 3 h to give I (X = Z = 0, Y = F, Rl = CH2C.tplbodic.CH, R2 = R4 = H, R3 = He, n = 1 (III). III at 0 g/are killed 100% Japanese millet, tall morning glory, and velvet leaf. Herbicidal <03/01/2005>

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ANSWER 27 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

132503-25-4 CAPLUS
5H-Pyrido[1,2,3-de]-1,4-benzoxazin-3(2H)-one, 9-fluoro-8-(hexahydro-1-oxo-3-thioxoimidazo[1,5-a]pyridin-2(3H)-yl)-6,7-dihydro- (9CI) (CA INDEX NAME)

ANSWER 28 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) formulations are given.

126006-98-2P 126007-07-5P 126007-08-7P
126007-98-P 126007-01-1P 126007-23-6P
RL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation of, as herbicide)
126006-98-2 CAPLUS
2,4-Imidazolidinedione, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzowazin-6-yl]-1-(2-fluoroethyl)-5-(1-methylethylidene) - (9CI) (CA INDEX NAME)

126007-07-6 CAPLUS
2,4-Imidazolidinedione, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-5-(1-methylethylidene)- (9CI) (CA INDEX NAME)

126007-08-7 CAPLUS
2,4-Imidazolidinedione, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-1-methyl-5-(1-methylethylidene)- [9CI] (CA INDEX NAME)

126007-09-8 CAPLUS
2,4-Imidazolidinedione, 3-(7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H1,4-benzoxazin-6-yl}-5-(1-methylethylidene)-1-(2-propenyl)- (9CI) (CA
INDEX NAME)

L4 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

126007-10-1 CAPLUS
2,4-Imidazolidinedione, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]-5-[1-mathylethylidene]-1-[2-propynyl]- (SCI) (CA INDEX NAME)

126007-23-6 CAPLUS
1-Imidazolidineacetic acid, 3-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-24-1,4-benzoxazin-6-yl]-5-(1-methylethylidene)-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

ΙT 126007-07-6

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, in preparation of herbicides) 126007-07-6 CAPLUS

1.4-benzoxazin-6-yl]-5-(1-methylethylidene)- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1989:574127 CAPLUS DOCUMENT NUMBER: 111:174127 TITLE: Preparation of heterocyclyloxol

111:174127
Preparation of heterocyclyloxobenzazoles and -azines as herbicides
Ganzer, Michael; Franke, Wilfried; Dorfmeister,
Gabrielle; Johann, Gerhard; Arndt, Friedrich; Rees,
Richard
Schering A.-G., Fed. Rep. Ger.
EUr. Pat. Appl., 43 pp.
CODEN: ERXXDW
Patent
German
1

INVENTOR (S):

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. 19990412 19930420 19930620 19930620 19930620 19930420 19920323 19930410 19990413 19940831 19940831 1999052 19990618 19990628 19990928 19990928 19930329 19930329 19930329 EP 311135 EP 311135 EP 311135 EP 1988-116762 19881010 EP 311135
EP 311135
EP 311135
ER: AT, BE, CH,
DE 3734745
IL 87887
DD 282847
SU 1722204
DK 8805634
FI 8904625
FI 92585
AU 8823568
AU 614775
BR 8805182
JP 01157977
JP 2755873
ZA 8807559
HU 49356
HU 207330
CN 1032479
AT 90091
ES 2058206
PRIORITY APPLN. INFO.:
OTHER SOURCE(S): DE, ES, Al GR, IT, LI, LU, NL, SE
DE 1987-3734745
IL 1988-87887
DD 1988-320543
SU 1988-4356592
DV 1988-5634 19871009 19880930 19881006 19881007 19881007 AU 1988-23568 19881007 B 19930329 A 19890426 CN 1988-109124 E 19930615 AT 1988-116762 T3 19941101 E5 1988-116762 DE 1987-3734745 EF 1988-116762 CASREACT 111:174127, MARPAT 111:174127 19881008 19881010 19881010 19871009 19881010 OTHER SOURCE(S):

AB The title compds. [I: R1 = H, (un) substituted C1-5 alkyl, C3-5 alkenyl, <03/01/2005>

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ANSWER 28 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 29 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) etc.; X = (CR2R3)nW, CR2:V in which V and W are bound to Ph-moiety; V = CR1, N; W = CR4R5, NR6, O, S; R2-R5 = H, halo, Cl-3 (halo)alkyl; R6 = H, He, halomethyl; Y = H, F, Cl; Z = 1 specific and 7 general heterocyclyl; n = 0, 1] were prepd. Aminobenzoxazinone II (Z = NHZ) was stirred 10 h with Cl2Cs in CH2Cl2 cond; CaCO3 to give 84% II (Z = NCS) which was added at 5° to a soln. of 2-amino-4,4-dimethyl-1-pyrroline in CH2Cl2 and the whole stirred 3 h with warming to 20° whereupon the soln. was cooled to -20°, Br added, and stirring continued 1 h with warming to 10° to give 25% II (Z = pyrrolothiadiazolylideneimino group Q) which gave complete kill of 9 weeds and no effect on wheat at 0.1 kg/ha postemergent. 122469-73-29P 123249-73-0P 123249-74-1P

123249-75-2P
RL: AGR (Agricultural use): BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation of, as herbicide)
123249-72-9 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

123249-73-0 CAPLUS
Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[3,4-dihydro-3-oxo-4-(2-propynyl)-2H-1,4-benzoxazin-7-yl]tetrahydro- (9CI) (CA INDEX NAME)

123249-74-1 CAPLUS Imidazo[1,5=1]pyridine-1,3(2H,5H)-dione, 2-[3,4-dihydro-3-oxo-4-(2-proppynyl)-ZH-1,4-benzoxazia-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

ANSWER 29 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 123249-75-2 CAPLUS 2H-1,4-Benzowazin-3(4H)-one, 7-fluoro-6-(hexahydro-1-oxo-3-thioxoimidazo[1,5-a]pyridin-2(3H)-yl)-4-(2-propynyl)- (9CI) (CA INDEX NAME)

ANSWER 30 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2H-1,4-Benzoxazin-3(4H)-one, 6-(dihydro-7-oxo-5-thioxo-1H,3H-imidazo[1,5-c]thiazol-6(5H)-y1)-7-fluoro- (9CI) (CA INDEX NAME)

Page 42

L4 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:553798 CAPLUS
1111.153798
111:153798
111:153798
Preparation of condensed (thio) hydantoins as herbicides
INVENTOR(S): Lindel, Hans; Santel, Hans Joachim; Schmidt, Robert R.; Strang, Harry
PATENT ASSIGNEE(S): Buyer A.-G., Fed. Rep. Ger.
EVERY DOCUMENT TYPE: Patent Appl., 42 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent German
FAMILY ACC. NUM. COUNT: 1 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 290902	A2	19881117	EP 1988-107009	19880502
R: BE, CH, DE, DE 3740256	FR, GB	, IT, LI, NL 19881208	DE 1987-3740256	19871127
AU 8815854	A1	19881117	AU 1988-15854	19880505
JP 63287782 BR 8802324	A2 A	19881124	JP 1988-112658 BR 1988-2324	19880511 19880512
DK 8802646	Ä	19881115	DK 1988-2646	19880513
PRIORITY APPLN. INFO.:				A 19870514 A 19871127
OTHER SOURCE(S):	CASREA	CT 111:15379	8; MARPAT 111:153798	N 198/112/

The title compds., lH, 3H-imidazo[1,5-c]thiazole-5,7(6H,7aH)-diones and S analogs, [Ir Rl, R4, R5 = H, halor R2, R3 = H, halo, NO2, cyano, (un) substituted alkyl (oxy), alkenyl (oxy), alkynyl (oxy), alkylthio, alkylthio, etc., R2R3 = X1A(cOlnY; R6 = H, (un) substituted Ph, naphthyl; R7-R9 = H, alkyl: A = bond, (chloro) alkylene; X, X1 = O, S; Y = O, S, Y = Now, R10 = H, (un) substituted etalkyl, alkenyl; alkynyl: m = O-2; n = O, 1] were prepared as herbicides (no data). A mixture of Et 4-thiazolidinecarboxylate and 4-BrcGiHMCS (general preparation given) were stirred 60 min at 20° in PMMe to give 88% I (R1 = R2 = R4 = R5 = R6-R9 = H, R3 = Br, X = S, m = O). 120222-53-99
RL: AGR (Agricultural use); BAC (Riological activity as affacts.

120222-53-99

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)
120222-53-9 CAPLUS

L4 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
1989:173245 CAPLUS
110:173245 CA

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT NO.	KIND	DATE	AP	LICATION NO.		DATE	
EP	296416	A1	19881228	EP	1988-109234		19880610	
EP	296416	B1	19920729					
	R: BE, CH	, DE, FR,	GB, IT, LI,	NL				
JP	01075486	A2	19890322	JP	1987-231063		19870917	
JP	01102076	A2	19890419	JP	1987-258462		19871015	
AU	8816944	A1	19881222	AU	1988-16944		19880601	
AU	605304	B2	19910110					
US	4902335	A	19900220	US	1988-209170		19880617	
JP	01085977	A2	19890330	JP	1988-151142		19880621	
BR	8803045	A	19890110	BR	1988-3045		19880622	
JP	01052775	A2	19890228	JP	1988-183640		19880725	
US	5077401	A	19911231	US	1989-418001		19891006	
PRIORIT	Y APPLN. INF	0.:		JP	1987-155093	A	19870622	
				JP	1987-231063	A	19870917	-
				JP	1987-258462	A	19871015	
				US	1988-209170	A3	19880617	
OTHER S	OURCE(S):	MARP	AT 110:17324	15				

<03/01/2005>

L4 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

The title compds. [I, Q = heterocyclyl groups Q1-Q3, etc.; R = cycloalkyl, (un) substituted heterocyclyl; R1 = Me; (R1)2 = (CH2)4; R2R3 = (CH2)4, CH2CH:CHCH2; W = CH, N, X = H, halo; Y, Z = O, S; n = 0, 1] were prepared 6-Fluoro-2(3R1)-benzothiazolone was refluxed 5 h with 3-chloromethyl-5-methyl-1, 2,4-oxadiazole in HeON containing X2CO3 tog give oxadiazolylmethylbenzothiazolone II (Q = H) which was converted in 2 steps to II (Q = NH2). The latter was refluxed 2 h with 3,4,5,6-tetrahydrophthalic anhydride in HOAC to give isoindoledionylbenzothiazolone III (R = 5-methyl-1,2,4-oxadiazol3-yl). III (R = 2-pyridyl) gave 295% herbicidal control of 4 weeds with no phytotoxicity to rice in culture at 0.06 kg/ha.
120102-66-1P 120102-67-6P 120102-70-7P
120102-66-5P 120102-67-6P 120102-89-8P
RL: AGR (Agricultural use): -BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation of, as herbicide)
120102-66-1 CAPLUS
ImidzaC(1,5-a) pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-4-(3-isoxazolylmethyl)-3-oxo-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME) IT

ANSWER 31 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2H-1,4-Benzoxazin-3(4H)-one, 6-(hexahydro-1-oxo-3-thioxoimidazo[1,5-a]pyridin-2(3H)-yl)-4-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

120102-87-6 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 7-fluoro-6-(hexahydro-1-oxo-3-thioxoimidazo[1,5-a]pyridin-2(3H)-y1)-4-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

120102-89-8 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 7-fluoro-6-(hexahydro-1-oxo-3-thioxoimidazo[1,5-a]pyridin-2(3H)-yl)-4-(3-isoxazolylmethyl)- (9CI) (CA

Page 43

L4 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

120102-67-2 CAPLUS Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-4-[(5-mathyl-1,2,4-oxadiazol-3-yl)methyl]-3-oxo-2H-1,4-benzoxazin-6-yl]tetrahydro-(9CI) (CA INDEX NAME)

120102-70-7 CAPLUS Imidazo[1,5-a]pyridine-1,3(2H,5H)-dione, 2-[7-fluoro-3,4-dihydro-3-oxo-4-(2-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]tetrahydro- (9CI) (CA INDEX NAME)

120102-86-5 CAPLUS

L4 ANSWER 32 OF 35
ACCESSION NUMBER:
DOCUMENT NUMBER:
1989:135165 CAPLUS
110:135165
Synthesis and antibacterial activity of
1,4-oxazinoquinolone carboxylic acids
Sastry, C. V. Reddy, Rao, K. Srinivasa; Rastogi, K.;
Jain, M. L.; Reddi, G. S.; Singh, K. V.
1DPL Res. Cent., Indian Drugs & Pharm. Ltd.,
Hyderabad, 500 037, India
Indian Journal of Chemistry, Section B: Organic
Chemistry Including Medicinal Chemistry (1988),
278(7), 649-52
CODEN: IJSBDB; ISSN: 0376-4699
Journal
English
OTHER SOURCE(S):
61

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

A series of 2H(I,4)cxazino(2,3-g)quinoline-8-carboxylic acids I (R = RI = H, Mer R = H, RI = Et) and 2H(I,4)cxazino(2,3-f)quinoline-9-carboxylic acids II (R2 = CL, PhS, 4-phenylpiperazino, morpholino) were prepared from benzoxazines III and IV, resp. and EVCCHC(COZEL)2 in 4 steps. I, II, and their Et esters were screened for their antibacterial activity in vitro against a variety of gram pos. and gram neg. bacteria. I (R = RI = H) shows promising antibacterial activity in vitro superior to that of nalidixic acid.
119453-69-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study); PREP (Preparation) spN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
119453-69-9 CAPLUS
2H-Pyrido(2,3-h)-1,4-benzoxazine-9-carboxylic acid, 7-ethyl-3 tetrahydro-3,10-dioxo-6-(4-phenyl-1-piperazinyl)- (9CI) (CA)

ANSWER 32 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

119453-50-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ethylation of)
119453-50-8 CAPLUS
2H-Pyrido(2,3-h)-1,4-benzoxazine-9-carboxylic acid, 3,4,7,10-tetrahydro-3,10-dioxo-6-(4-phenyl-1-piperazinyl)-, ethyl ester (SCI) (CA INDEX NAME)

IT 119453-62-2P

Page 44

L4 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

119453-46-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and intramol. cyclization. of, oxazinoquinoline derivs.

from)

RN 119453-46-2 CAPLUS

CN Propanedioic acid, [[[3,4-dihydro-3-oxo-6-(4-phenyl-1-piperazinyl)-2H-1,4-benzoxazin-7-yl]amino]methylene]-, diethyl ester (9CI) (CA INDEX NAME)

Eto

IT

116862-42-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with di-Et ethoxymethylenemalonate)
116862-42-1 CAPIUS
2H-1,4-Benzoxazin-3(4H)-one, 7-amino-6-(4-phenyl-1-piperazinyl)- (9CI)
(CA INDEX NAME)

L4 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER:

1988:570339 CAPLUS

109:170339

Synthesis and anthelmintic activity of some new
6-(arylthio-/arylsulfonyl/substituted
amino)-7-isothiocysnato-2H-1,4-bencoxazin-3(4H)-ones
Sastry, C. V. Reddy, Rao, K. Srinivasaz Rastogi, K.,
Jain, M. L.

CORPORATE SOURCE:

50URCE:

10PL, Indian Drugs and Pharm. Ltd., Hyderabad, 500
037, India
10Jurnal of Chemistry, Section B: Organic
Chemistry Including Medicinal Chemistry (1988),
27B(3), 290-2

CODEN: IJSEDB; ISSN: 0376-4699
JOURNAI
LANGUAGE:

CASREACT 109:170339

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

Aminobenzoxazinones I (R1 = arylthio, arylsulfonyl, secondary amino) were treated with thiophosgene to give isothiocyanato-substituted compds. II. II are potential anthelmintics. Among the products was II (R1 = 4-methyl-1-piperazinyl). 116662-41-0P 116662-42-1P 116662-42-2P RISECT (Reactant) spr (Synthetic preparation), PREP (Preparation), RACT (Reactant) or reagent) (preparation and condensation reaction of, with thiophosgene) 116662-41-0 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 7-amino-6-(4-methyl-1-piperazinyl) - (9CI) (CA INDEX NAME)

116862-42-1 CAPLUS 2H-1,4-Benzowazin-3(4H)-one, 7-amino-6-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

ANSWER 33 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

116862-43-2 CAPLUS 2H-1, 4-Benzowazin-3(4H)-one, 7-amino-6-[4-(phenylmethyl)-1-piperazinyl]-(SCT) (CA INDEX NAME)

116862-35-2P 116862-36-3P 116862-37-4P
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of, amino analog from)
116862-35-2 CAPIUS
2H-1, 4-Benzowazin-3 (4H)-one, 6-(4-methyl-1-piperazinyl)-7-nitro- (9CI)
(CA INDEX NAME)

116862-36-3 CAPLUS 2H-1, 4-Benzowazin-3(4H) -one, 7-nitro-6-(4-phenyl-1-piperazinyl) - (9CI) (CA INDEX NAME)

116862-37-4 CAPLUS 2H-1,4-Benzowszin-3(4H)-one, 7-nitro-6-[4-(phenylmethyl)-1-piperazinyl)-3CC1 (CA INDEX NAME)

L4 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ΙT

116862-53-4P 116862-54-5P 116862-55-6P
RL: SPN (Synthetic preparation), PREP (Preparation)
(preparation of, as anthelmintic)
116862-53-4 CAPIUS
2H-1,4-Benzowazin-3(4H)-one, 7-isothiocyanato-6-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)

116862-54-5 CAPLUS 2H-1, 4-Benzowazin-3(4H)-one, 7-isothiocyanato-6-(4-phenyl-1-piperazinyl)-(SCI) (CA INDEX NAME)

116862-55-6 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 7-isothiocyanato-6-[4-(phenylmethyl)-1-piperazinyl)- (9CI) (CA INDEX NAME)

ANSWER 34 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: AGR (Agricultural use): EAC (Biological activity or effector, except
adverse): BSU (Biological study, unclassified): SFN (Synthetic
preparation): BIOL (Biological study): PREP (Preparation): USES (Uses)
(prepn. of, as herbicide)
115614-33-0 CAPLUS
HH-1.4-Benzoxazine-4-acetonitrile, 7-fluoro-6-(hexahydro-1,3dioxoimidazo[1,5-a)pyridin-2(3H)-y1)-2,3-dihydro-3-oxo- (9CI) (CA INDEX
NAME)

115614-88-5 CAPLUS 4H-1,4-Benzoxazine-4-acetonitrile, 6-(hexahydro-1,3-dioxoimidazo[1,5-a)pyridin-2(3H)-y)1-2,3-dihydro-3-oxo-(9CI) (CA INDEX NAME)

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L4 ANSWER 34 OF 35
ACCESSION NUMBER:
1988:473459 CAPLUS
DOCUMENT NUMBER:
1109:73459
ITITLE:
109:73459
Preparation and testing of indolobenzoxazinone derivatives as herbicides
Kume, Toyohikov Goto, Toshiov Kamochi, Atsumi, Yamayuchi, Naokov Yanagi, Akihikov Hayakawa, Hidenori, Yaqi, Shigeki, Miyauchi, Hiroshi
Nihon Tokushu Moyaku Selzo K. K., Japan
Eur. Pat. Appl., 32 pp.
COOEM: EPYXDW
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
4

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PA1	ENT	NO.			KIN	D	DATE			AP	PLICATION N	0.	DATE
							-							
	EP	2632	99			A1		1988	0413		ΕP	1987-11265	1	19870831
	EP	2632	99			B1		1990	1107					
		R:	BE,	CH,	DE,	FR,	GB,	, IT,	LI,	NL				
	JP	6306	8587			A2		1988	0328		JP	1986-21072	5	19860909
	JP	6319	6582	!		A2		1988	0815		JP	1987-27194		19870210
RIC	RIT	Y APP	LN.	INFO	.:						JP	1986-21072	5 A	19860909
											JP	1987-27194	A	19870210

OTHER SOURCE(S): MARPAT 109:73459

The title compds. (I: Rl = H, Me, Et; R2 = CN, Me3Si, Me3SiCH2O2C, Cl-4 alkylthio, cyclopropyl; X = H, halo; Q = Ql-Q4) were prepared as herbicides. 2-(7-Fluoro-ZH-1,4-benzoxazin-3(4H)-on-6-yl]-4,5,6,7-tetrahydro-ZH-isoindol-1,3-dione was refluxed 30 min with K2CO3 in MeCN. The solution was cooled to 5°, ClCH2CN was added and the mixture was refluxed 3 h to give I (Rl = H, R2 = CN, Q = Ql, X = F). Several I at 0.06 kg/ha gave complete control of Echinochloa cryzicola, Cyperus difformis, and Monochoria vaginalis while leaving rice unaffected. AB

L4 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1987:5080 CAPLUS
DOCUMENT NUMBER: 106:5080
Preparation of piperazines as psychotropics
PATENT ASSIGNEE(S): 50URCE: 50URC

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	
	A2	19860711		
EP 189612	A1	19860806	EP 1985-202085	19851216
EP 189612	B1	19921104		
· R: AT, BE, CH				
	E	19921115	AT 1985-202085	
DK 8505860	A			
AU 8551391		19860626	AU .1985-51391	19851218
AU 588015	B2	19890907		
ZA 8509663		19860827		
ES 550104	A1	19861216		
CA 1271475		19900710		
IL 77395	A1	19910816		
US 5424313	λ	19950613	US 1993-135189 NL 1984-3917	19931012
PRIORITY APPLN. INFO.:				
			EP 1985-202085	
			US 1985-810094	
			US 1988-161240	
			US 1988-268886	
			US 1990-471694	
			US 1990-593280	
			US 1991-802715	
			US 1993-3683	B1 19930113

US 1991-802715 B1 19911206

US 1993-3683 B1 19911206

For diagram(s), see printed CA Issue.

The title compds. (I; R1 = alkyl; overloalkyl, alkowyalkyl, etc.; p = 0-3;

R2 = alkyl; n and q = 0 or 1; R3 = alkylidene, oxo; thioxo, etc.; m = 0-2;

A = 5-7 member ring containing 1-3 0, S, or N), useful as psychotropics, are prepared Thus; n-[5-(1,4-benzodioxanyl])piperazine-HCl was prepared by treating 5-amino-1,4-benzodioxane with bis(2-chloroethyl)amine-HCl. No pharmacol. activities are described.

105684-84-2P 105684-87-5P 105685-33-4P

R1: SYN (Synthetic preparation); FREP (Preparation)

(preparation of, as psychotropic)

105684-84-2 CAPLUS

ZH-1,4-Benzoxazin-3(4H)-one, 5-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● vc1

RN 105684-87-5 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

• vci

RN 105695-33-4 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 5-(1-piperaziny1)- (9CI) (CA INDEX NAME)

RN 105685-36-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-(1-piperaziny1)- (9CI) (CA INDEX NAME)

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L4 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

4 ANSWERS

11

ring nodes :

chain bonds :

9-11

ring bonds :

 $1-2^{-}$ 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-16 13-14 14-15

exact/norm bonds :

5-7 6-10 7-8 8-9 9-10 9-11 12-13 12-16 13-14 14-15 15-16

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:CLASS

STRUCTURE UPLOADED L1

=> d 11

L1 HAS NO ANSWERS

L1STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 16:07:15 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 1713 TO ITERATE

58.4% PROCESSED 1000 ITERATIONS

SEARCH TIME: 00.00.01

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

31778 TO 36742

<03/01/2005>

10/702,302 Page 4

PROJECTED ANSWERS:

4 TO 294

L2 4 SEA SSS SAM L1

=> s 11 sss full FULL SEARCH INITIATED 16:07:26 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 34893 TO ITERATE

100.0% PROCESSED 34893 ITERATIONS

78 ANSWERS

SEARCH TIME: 00.00.01

L3 78 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 161.33 161.54

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 16:07:31 ON 01 MAR 2005
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FILE COVERS 1907 - 1 Mar 2005 VOL 142 ISS 10 FILE LAST UPDATED: 28 Feb 2005 (20050228/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 2 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
11TLE:
1NVENTOR(S):
PATENT ASSIGNEE(S):
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INDRAMATION:
1. COPYRIGHT 2005 ACS on STN
2004:141636 CAPLUS
140:423696
Preparation of phenylaminopyrimidines useful as inhibitors of JAK and other protein kinases
Bethiel, Randy S.; Ludeboer, Mark
U.S. Pat. Appl. Publ., 59 pp.
CODEN: USXXCO
DOCUMENT TYPE:
English
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1. COPYRIGHT 2005 ACS on STN
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100:423696
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DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	NO.	KIND DATE	APPLICAT	ION NO.	DATE
US 2004	097504	A1 2004	0520 US 2003-	700936	20031104
WO 2004	041814	A1 2004	0521 WO 2003-	US35163	20031104
W:	AE, AG, AL	, AM, AT, AU,	AZ, BA, BB, BG,	BR, BY, BZ,	CA, CH, CN,
	CO, CR, CU	, CZ, DE, DK,	DM, DZ, EC, EE,	ES, FI, GB,	GD, GE, GH,
	GM, HR, HU	, ID, IL, IN,	IS, JP, KE, KG,	KP, KR, KZ,	LC, LK, LR,
	LS, LT, LU	, LV, MA, MD,	MG, MK, MN, MW,	MX, MZ, NO,	NZ, OM, PH,
	PL, PT, RC	, RU, SD, SE,	SG, SK, SL, TJ,	TM, TN, TR,	TT, TZ, UA,
	UG, US, UZ	, VN, YU, ZA,	ZM, ZW		
RW:	BW, GH, GM	, KE, LS, MW,	MZ, SD, SL, SZ,	TZ, UG, ZM,	ZW, AM, AZ,
	BY, KG, K2	, MD, RU, TJ,	TM, AT, BE, BG,	CH, CY, CZ,	DE, DK, EE,
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	TR, BF, BJ	, CF, CG, CI,	CM, GA, GN, GQ,	GW, ML, MR,	NE, SN, TD, TG
PRIORITY APP	LN. INFO.:		US 2002-	423579P	20021104
OTHER SOURCE GI	(S):	MARPAT 140:	423696		

The title compds. [1, W1 = N, CH; W2 = N, C(U)pRU; W3 = N, C(V)qRV; p. q = 0-1; RU, RV = R, Arl; U, V = a bond, alkylidene, etc.; R = H, alkyl, etc.; Arl = 5-7 membered (un)saturated monocyclic ring having 0-3 heteroatoms,

8-12 membered (un)saturated bicyclic ring having 0-5 heteroatoms, R1 and R2 together and fused to ring B form a cyclic moiety selected from benzoxazine, quinoxaline, etc., R3 - halo, QR, QnCN, QnNO2, QnArl, R4 -

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

692246-55-2 CAPLUS 2K-1, 4-Benzoxazin-3(4H)-one, 4-methyl-6-[2-[(3-methylphenyl)amino]-4-pyridinyl]- (SCI) (CA INDEX NAME)

692246-57-4 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 4-methyl-6-[2-[(4-methylphenyl)amino]-4-pyridinyl]- (SCI) (CA INDEX NAME)

692246-59-6 CAPLUS 2H-1,4-Benzowazin-3(4H)-one, 6-[2-[(4-methoxyphenyl)amino]-4-pyridinyl]-4-methyl-(9C1) (CA INDEX NAME)

692246-61-0 CAPLUS 2H-1,4-Benzowazin-3(4H)-one, 6-[2-[(3,5-dimethylphenyl)amino]-4-pyridinyl]-4-methyl- (9C1) (CA INDEX NAME)

Page 5

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Arl, TArl, T = alkylidene chain wherein one methylene unit of T is optionally replaced by CO, CO2, COCO, etc., g = alkylidene chain wherein one methylene unit of Q is optionally replaced by CO, CO2, COCO, etc., n = 0-1], useful in the treatment of Various protein kinase mediated disorders, were prepd. The general procedures for prepn. of the compds. I were described. The compds. I such as II showed Ki's of <1.0 µM in the JAK3 inhibition assay, and Ki's of <1.0 µM in the NNS inhibition assay. The pharmaceutical compn. comprising the compd. I is claimed. 692246-51-69 692246-53-09 692246-53-09 692246-53-69 692246-53-09 692246-53-69 692246-53-09 692246-53-69 692246-53-69 692246-53-69 692246-53-69 692246-53-69 692246-63-6

(preparation of phenylaminopyrimidines useful as inhibitors of JAK and

protein kinases)
692246-51-8 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-6-[2-(phenylamino)-4-pyridinyl](9CI) (CA INDEX NAME)

692246-53-0 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-fluorophenyl)amino]-4-pyridinyl]-4-methyl- (9CI) (CA INDEX NAME)

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

692246-63-2 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3,5-dimethoxyphenyl)amino]-4-pyridinyl]-4-methyl- (9CI) (CA INDEX NAME)

692246-65-4 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 6-[2-(phenylamino)-4-pyridinyl]- (9CI) (CA INDEX NAME)

692246-67-6 CAPLUS 2H-1, 4-Benzokazin-3(4H)-one, 6-[2-[(2-fluorophenyl)amino]-4-pyridinyl]-(9CI) (CA INDEX NAME)

692246-69-8 CAPLUS 2H-1, 4-Benzoxazin-3 (4H)-one, 6-[2-[(3-fluorophenyl)amino]-4-pyridinyl]-(9CT) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

692246-71-2 CAPLUS
2H-1, 4-Benzowszin-3(4H)-one, 6-[2-[(4-fluorophenyl)amino]-4-pyridinyl](9CT) (CA INDEX NAME)

692246-73-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-chlorophenyl)amino]-4-pyridinyl]-(9CI) (CA INDEX NAME)

692246-75-6 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 6-[2-[(4-chlorophenyl)amino]-4-pyridinyl]-(9C) (CA INDEX NAME)

692246-77-8 CAPLUS 2H-1,4-Bencoxsatin-3(4H)-one, 6-[2-[(3-methoxyphenyl)amino]-4-pyridinyl]-(9C1) (CA INDEX NAME)

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN L4 (Continued)

692246-88-1 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 6-[2-([1,1'-biphenyl]-3-ylamino)-4-pyridinyl]-[9CI) (CA INDEX NAME)

692246-90-5 CAPLUS
Benzenesulfonamide, 3-[[4-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-y1)-2-pyridinyl]amino]- (SCI) (CA INDEX NAME)

692246-92-7 CAPLUS
Benzenesulfonamide, 3-[[4-(3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-6-yl)-2-pyridinyllamino]- (9CI) (CA INDEX NAME)

692246-94-9 CAPLUS
Benzenesulfonamide, 4-[[4-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-y1)-2-pyridinyl]amino] - (9CI) (CA INDEX NAME)

<03/01/2005>

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

692246-79-0 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(2-methylphenyl)amino]-4-pyridinyl]-(9CI) (CA INDEX NAME)

692246-81-4 CAPLUS 2H-1,4-Benzokazin-3(4H)-one, 6-{2-[(3-hydroxyphenyl)amino]-4-pyridinyl]-(9CI) (CA INDEX NAME)

692246-83-6 CAPLUS 2H-1,4-Benzowazin-3(4H)-one, 6-[2-[(4-methylphenyl)amino]-4-pyridinyl]-(9C1) (CA INDEX NAME)

692246-84-7 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 6-[2-[(3,5-dimethylphenyl)amino]-4-pyridinyl]-(9CI) (CA INDEX NAME)

692246-86-9 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3,5-dimethoxyphenyl)amino]-4-pyridinyl]- (SCI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

692246-96-1 CAPLUS
Benzenesulfonamide, 4-[[4-(3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-6-yl)-2-pyridinyl]amino]- [9CI) (CA INDEX NAME)

692246-98-3 CAPLUS
Benzamide, 3-[4-(4-(3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-6-yl)-2-pyridinyl]amino|- (SCI) (CA INDEX NAME)

692247-00-0 CAPLUS
Benzontrile, 3-[(4-(3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazin-6-yl)-2-pytidinyl]aminol (9CI) (CA INDEX NAME)

692247-02-2 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 6-[2-[(3-hydroxyphenyl)amino]-4-pyridinyl]-4-methyl- (9C1) (CA INDEX NAME)

692247-04-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-methoxyphenyl)amino]-4-pyridinyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-06-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(2-(4-morpholiny1)ethyl]-6-[2-(phenylamino)-4-pyridiny1]- (9CI) (CA INDEX NAME)

RN 692247-08-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-fluorophenyl)amino]-4-pyridinyl]-4[2-(4-morpholinyl)ethyl)- (9CI) (CA INDEX NAME)

RN 692247-10-2 CAPLUS
CN 2H-1,4-Benzokazin-3(4H)-one, 6-[2-[(3-chlorophenyl)amino]-4-pyridinyl]-4[2-(4-morpholnyl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-14-6 CAPLUS
CN Benzamide, 3-[{4-{3,4-dihydro-4-[2-(4-morpholinyl)*thyl}-3-oxo-2H-1,4-benzoxazin-6-yl]-2-pyridinyl}amino]- (9CI) (CA INDEX NAME)

RN 692247-15-7 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 4-[2-(4-morpholinyl)ethyl]-6-[2-((3-phenoxyphenyl)amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 692247-16-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-methoxyphenyl)amino]-4-pyridinyl]-4[2-(4-morpholinyl)sthyl]- (9Cl) (CA INDEX NAME)

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 69247-12-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-hydroxyphenyl)amino]-4-pyridinyl]-4[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 692247-13-5 CAPLUS
CN Benzoic acid, 3-[[4-[3,4-dihydro-4-[2-(4-morpholinyl)ethyl]-3-oxo-2H-1,4-benzoxazin-6-yl]-2-pyridinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-17-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-([1,1'-biphenyl]-3-ylamino)-4-pyridinyl]4-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 692247-18-0 CAPLUS
CN Benzenesulfonamide, 3-[[4-[3,4-dihydro-4-[2-(4-morpholiny1)ethy1]-3-oxo-2H1,4-benzoxazin-6-y1]-2-pyridiny1]amino]- (9CI) (CA INDEX NAME)

RN 692247-19-1 CAPLUS
CN Benzenesulfonamide, 4-[[4-{3,4-dihydro-4-[2-(4-morpholinyl)ethyl]-3-oxo-2H-1,4-benzoxazin-6-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

692247-20-4 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3,5-dimethylphenyl)amino]-4-pyridinyl]4-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

692247-21-5 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-[2-(phenylamino)-4-pyridinyl]-4-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-methoxyphenyl)amino]-4-pyridinyl]-4-(3-pyridinyl)methyl)-(9CT) (CA INDEX NAME)

692247-26-0 CAPLUS
Benzoic acid, 3-[(4-[3,4-dihydro-3-oxo-4-(3-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl)-2-pyridinyl]amino)-, methyl ester (9CI) (CA INDEX NAME)

692247-27-1 CAPLUS
Benzonitrile, 3-[[4-[3,4-dihydro-3-oxo-4-(3-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]-2-pyridinyl]amino] - (CA INDEX NAME)

692247-28-2 CAPLUS
2H-1, 4-Benzowazin-3(4H)-one, 6-[2-([1,1'-biphenyl]-3-ylamino)-4-pyridinyl]-4-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

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- ANSWER 1 OF 2 CAPLUS COFYRIGHT 2005 ACS on STN (Continued) 692247-22-6 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-{2-[(3-fluorophenyl)amino]-4-pyridinyl]-4-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

692247-23-7 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-chlorophenyl)amino]-4-pyridinyl]-4-(3-pyridinyl)methyl)- (9CI) (CA INDEX NAME)

692247-24-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-hydroxyphenyl)amino]-4-pyridinyl]-4-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

692247-25-9 CAPLUS

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

692247-29-3 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-phenoxyphenyl)amino]-4-pyridinyl]-4-(3-pyridinyl)methyl) - (9Cl) (CA INDEX NAME)

692247-30-6 CAPLUS
Benzenesulfonamide, 3-[[4-[3,4-dihydro-3-oxo-4-(3-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]-2-pyridinylamino]- (9CI) (CA INDEX NAME)

692247-31-7 CAPLUS
Benzenesulfonamide, 4-[[4-[3,4-dihydro-3-oxo-4-(3-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl}-2-pyridinylmino]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-32-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3,5-dimethylphenyl)amino]-4-pyridinyl]4-(3-pyridinylmethyl)- (9Cl) (CA INDEX NAME)

RN 692247-33-9 CAPLUS

Senzamide, 3-[4-[3,4-dihydro-3-oxo-4-(3-pyridinylmethyl)-2H-1,4-benzoazin-6-yl]-2-pyridinyllamino]- (9C1) (CA INDEX NAME)

RN 692247-34-0 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 6-[2-(phenylamino)-4-pyridinyl]-4-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-38-4 CAPLUS
CN Benzoic acid, 3-[[4-[3,4-dihydro-3-oxo-4-(2-pyridinylmethyl)-2H-1,4-benzoxarin-6-yl]-2-pyridinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 692247-39-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-([1,1'-biphenyl]-3-ylamino)-4-pyridinyl]4-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 692247-40-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-phenoxyphenyl)amino]-4-pyridinyl]-4(2-pyridinylmethyl)- (9C1) (CA INDEX NAME)

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 692247-35-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-fluorophenyl)amino]-4-pyridinyl]-4(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 692247-36-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-chlorophenyl)amino]-4-pyridinylnethyl)- (9CI)
(CA INDEX NAME)

RN 692247-37-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-methoxyphenyl)amino]-4-pyridinyl]-4(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-41-9 CAPLUS
CN Benzenesulfonamide, 3-[[4-[3,4-dihydro-3-oxo-4-(2-pyridinylmethyl]-2H-1,4-benzoxazin-6-yll-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 692247-42-0 CAPLUS
CN Benzenesulfonamide, 4-[[4-[3,4-dihydro-3-oxo-4-(2-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]-2-pyridinyl|amino]- (9CI) (CA INDEX NAME)

RN 692247-43-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3,5-dimethylphenyl)amino]-4-pyridinyl]4-(2-pyridinylmethyl)- (9C1) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-44-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-{2-(phenylamino)-4-pyridinyl]-4-{4-pyridinylmethyl}- (9CI) (CA INDEX NAME)

RN 692247-45-3 CAPLUS
CN 2H-1,4-Benzoxazin-3{4H}-one, 6-{2-{(3-fluorophenyl)amino}-4-pyridinyl}-4(4-pyridinylmethyl)- {9Cl} (CA INDEX NAME)

RN 692247-46-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-chlorophenyl)amino]-4-pyridinyl]-4(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 692247-50-0 CAPLUS
CN Benzenesulfonsmide, 3-[[4-[3,4-dihydro-3-oxo-4-(4-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl)-2-pyridinyl]smino]- (9CI) (CA INDEX NAME)

RN 692247-51-1 CAPLUS
CN Benzenesulfonamide, 4-[[4-[3,4-dihydro-3-oxo-4-(4-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 692247-52-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3,5-dimethylphenyl)amino]-4-pyridinyl]4-(4-pyridinylmethyl)- (9Cl) (CA INDEX NAME)

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 692247-47-5 CAPLUS
CN Benzoic acid, 3-[[4-[3,4-dihydro-3-oxo-4-(4-pyridinylmethyl)-2H-1,4-benzoxazin-6-yl]-2-pyridinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 692247-48-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-([1,1'-biphenyl]-3-ylamino)-4-pyridinyl]-4-(4-pyridinylnethyl)- (9CI) (CA INDEX NAME)

RN 692247-49-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(3-phenoxyphenyl)amino]-4-pyridinyll-4(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
1136:355241
11TILE:
1NVENTOR(S):
2002:332196 CAPLUS
136:355241
11G:355241
11G:35

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										WO :	2001-	EP12	344	1	W 2	0011	022
OTHER S	OURCE	(s):			MARI	PAT	136:	35524	11								

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

420785-55-3 CAPLUS 2H-1.4-Benzoxazin-3(4H)-one, 6-[1-[3-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

#20785-59-0P, 6-(4-Pyridyl)-4H-benzo[1,4]oxazin-3-one
#20786-60-3P, 6-(4-Piperidinyl)-4H-benzo[1,4]oxazin-3-one
RE: RCT (Reactant) - SPN (Synthetic preparation) - PREP (Preparation) - RACT
(Reactant or reagent)
(preparation of benzoxazinones as antidepressants and anxiolytics) (Meactant of teagency (preparation of benzoxazinones as antidepressants and anxiolytics 420786-59-0 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

420786-60-3 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-(4-piperidinyl)- (9CI) (CA INDEX NAME)

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ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
The title compds. [I: Ar = (un) substituted Ph, naphthyl, a monocyclic or a bicyclic heteroarom. group; when Ar = Ph or a monocyclic heteroarom. group substituents positioned ortho to one another may be linked to form a S-6 membered ring; R1 = H, alkyl, alkenyl, alkynyl, arylalkyl; R2 = halo, alkyl, CN, CF3, alkanoyl, alkowy, GH, X = CH, N; Y = a single bond, O, CO; p = 0-2; r = 0-3; m = 2-4; n, q = 1-2], useful as medicaments for various CRS disorders, including depression and/or anxiety, were prepared Thus, reacting 6-{4-piperidinyloxyl-4H-benzo[1,4]oxazin-3-one.HCl with 4-HH-indolyloxyacetaldehyde in the presence of NaBH(GAC) in 1,2-dichloroethane afforded 63% I [Ar = 4-indolyl; R1 = H; X = CH; Y = O; p = O; q = 1); n, m = 2; r = 0]. All compds. I tested according to the radioligand binding assay were found to have pKi values > 6.0 at 5-HTlA receptors.
420785-52-0P 420785-53-1P 420785-54-2P 420785-53-3P

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420785-55-3P

RI: PAC (Pharmacological activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES (Uses)

(preparation of benzoxazinones as antidepressants and anxiolytics)
420785-52-0 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-[1-[3-(1H-indol-4-yloxy)propyl]-4piperidinyl]- (9CI) (CA INDEX NAME)

420785-53-1 CAPLUS
Benzonitrile, 2-{3-[4-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-1-piperidinyl]propoxy)- (9CI) (CA INDEX NAME)

420785-54-2 CAPLUS 2H-1, 4-Bencoxazin-3(4H)-one, 6-[1-[3-[2-(5-isoxazoly1)phenoxy]propy1]-4-piperidiny1]- (9CI) (CA INDEX NAME)